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(71) Applicant *(for all designated States except US)*: SYNGENTA PARTICIPATIONS AG [CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: STEIGER, Arthur [CH/CH]; Syngenta Crop Protection AG, Schwarzwaldallee 215, CH-4058 Basel (CH). EBERLE, Martin [CH/CH]; Asterhagstrasse 22, CH-4103 Bottmingen (CH). RENOLD, Peter [CH/CH]; Syngenta Crop Protection AG, Schwarzwaldallee 215, 4058 Basel (CH). O'SULLIVAN, Anthony, Cornelius [GB/CH]; Syngenta Crop Protection AG, Schwarzwaldallee 215, CH-4058 Basel (CH). ZAMBACH, Werner [CH/CH]; Syngenta Crop Protection AG, Schwarzwaldallee 215, CH-4058 Basel (CH).

(74) Agent: BASTIAN, Werner; c/o Syngenta Participations AG, Intellectual Property, P.O. Box, CH-4002 Basel (CH).

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(54) Title: PESTICIDALLY ACTIVE AMINOACETONITRILES

(I)

(57) Abstract: Compounds of formula (I), wherein A_1 and A_2 are each independently of the other unsubstituted or mono- to penta-substituted aryl or unsubstituted or, depending upon the possibility of substitution at the ring, mono- to tetra-substituted heteroaryl hondcd via a ring carbon atom; X and y are each independently of the other a bond, C_1-C_6alkylene, C_2-C_6alkenylene, C_2-C_6alkynylene, phenylene, -O-, -S- or C(=O)-; R_1 is, for example, hydrogen, C_1-C_6alkyl or halo-C_1-C_6alkyl; R2 is, for example, C_1-C_6alkyl, halo-C_1-C_6alkyl or C_1-C_6alkoxy-C_1-C_6alkyl; V is C_1-C_6alkylene, C_2-C_6alkenylene or C_2-C_6Alkynylene; W is O, S, -S(O)-, -S(O)$_2$- or N(R_3); and R_3 is hydrogen, C_1-C_6alkyl, -C(=O)-C_1-C_6alkyl or C_1-C_6alkyl-O-C_1-C_6alkyl; n is 0 or 1; and, when n is 1, R_4 and R_5 are, for example, hydrogen, C_1-C_6alkyl or halo-C_1-C_6alkyl; and, where applicable, diastereoisomers, E/Z isomers, E/Z isomeric mixtures and/or tautomers, in each case in free form or in salt form, a process for the preparation of those compounds and their tautomers and the use thereof; pesticidal compositions in which the active ingredient has been selected from those compounds and their tautomers, and a process for the preparation of such compositions and the use thereof; intermediates, in free form or in salt form, for the preparation of such compounds and, where applicable, their tautomers, in free form or in salt form are described.

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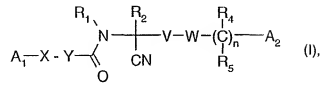
Pesticidally active aminoacetonitriles

The present invention relates to (1) compounds of formula



(I),

wherein

A_1 and A_2 are each independently of the other unsubstituted or mono- to penta-substituted aryl or unsubstituted or, depending upon the possibility of substitution at the ring, mono- to tetra-substituted heteroaryl bonded *via* a ring carbon atom;

the substituents of A_1 and A_2 being selected independently of one another from the group consisting of halogen, cyano, nitro, OH, SH, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_2-C_6alkenyl, halo-C_2-C_6alkenyl, C_2-C_6alkynyl, C_3-C_6cycloalkyl, C_2-C_6alkenyloxy, halo-C_2-C_6alkenyloxy, C_2-C_6alkynyloxy, C_1-C_6alkylthio, halo-C_1-C_6alkylthio, C_1-C_6alkylsulfonyloxy, C_1-C_6alkylsulfinyl, halo-C_1-C_6alkylsulfinyl, C_1-C_6alkylsulfonyl, halo-C_1-C_6alkylsulfonyl, C_2-C_6alkenylthio, halo-C_2-C_6alkenylthio, C_2-C_6alkenylsulfinyl, halo-C_2-C_6-alkenylsulfinyl, C_2-C_6alkenylsulfonyl, halo-C_2-C_6alkenylsulfonyl, NH_2, C_1-C_6alkylamino, di-C_1-C_6alkylamino, C_1-C_6alkylsulfonylamino, halo-C_1-C_6alkylsulfonylamino, C_1-C_6alkylcarbonyl, halo-C_1-C_6alkylcarbonyl, COOH, C_1-C_6alkoxycarbonyl; unsubstituted or mono- to penta-substituted phenyl; unsubstituted or mono- to penta-substituted phenoxy; and unsubstituted or mono- to tetra-substituted pyridyloxy; the substituents of the phenyl, phenoxy and pyridyl-oxy groups being selected independently of one another from the group consisting of halogen, nitro, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_1-C_6alkyl-thio, halo-C_1-C_6alkylthio, C_1-C_6alkylsulfinyl, halo-C_1-C_6alkylsulfinyl, C_1-C_6alkylsulfonyl and halo-C_1-C_6alkylsulfonyl;

or wherein two adjacent substituents of A_1 or A_2 together are $-CH_2-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-CH_2-$, $-CH=CH-CH_2-$ or $-CH=CH-CH=CH-$ in which one or two of the carbon members may have been replaced by hetero atoms selected from O, S and N and which are unsubstituted or mono- or di-substituted independently of one another by halogen, nitro, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy or halo-C_1-C_6alkoxy;

X and Y are each independently of the other a bond, C_1-C_6alkylene, C_2-C_6alkenylene,

C_2-C_6alkynylene, phenylene, -O-, -S-, -C(=O)- or a bridge of formula 

;

and wherein C_1-C_6alkylene, C_2-C_6alkenylene, C_2-C_6alkynylene and phenylene are unsubstituted or, depending upon the possibility of substitution, are mono- to tetra-substituted independently of one another by substituents selected from the group consisting of halogen, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_3-C_6alkenyloxy, C_3-C_6alkynyloxy, cyano, nitro and unsubstituted or mono- to tetra-substituted C_3-C_6cycloalkyl, the substituents of C_3-C_6cycloalkyl being selected from the group consisting of halogen and C_1-C_6alkyl;

R_1 is hydrogen, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, cyano-C_1-C_6alkyl, C_1-C_6alkylthio, halo-C_1-C_6alkylthio, C_2-C_6alkenyl, C_2-C_6alkynyl, C_3-C_6cycloalkyl, C_1-C_6alkoxy-C_1-C_6alkyl, C_1-C_6alkylthio-C_1-C_6alkyl, -C(=O)C_1-C_6alkyl, -C(=O)OC_1-C_6alkyl or -C(=O)NHC_1-C_6alkyl;

R_2 is C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy-C_1-C_6alkyl, C_1-C_6alkylthio-C_1-C_6alkyl, C_2-C_6alkenyl, C_2-C_6alkynyl; unsubstituted or substituted C_3-C_6cycloalkyl; the substituents being selected independently of one another from the group consisting of halogen and C_1-C_6alkyl; or unsubstituted or substituted phenyl, the substituents being selected from the group consisting of halogen, nitro, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_1-C_6alkylthio, halo-C_1-C_6alkylthio, C_1-C_6alkylsulfinyl, halo-C_1-C_6alkylsulfinyl, C_1-C_6alkylsulfonyl, halo-C_1-C_6alkylsulfonyl, C_1-C_6alkylamino and di-C_1-C_6alkylamino;

V is C_1-C_6alkylene, C_2-C_6alkenylene or C_2-C_6alkynylene which are unsubstituted or substituted independently of one another by substituents selected from the group consisting of halogen, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_1-C_6alkylthio, halo-C_1-C_6alkylthio; unsubstituted or substituted C_3-C_6cycloalkyl; the substituents being selected from the group consisting of halogen and C_1-C_6alkyl; and unsubstituted or substituted phenyl, the substituents being selected independently of one another from the group consisting of halogen, nitro, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_1-C_6alkylthio, halo-C_1-C_6alkylthio, C_1-C_6alkylsulfinyl, halo-C_1-C_6alkylsulfinyl, C_1-C_6alkylsulfonyl, halo-C_1-C_6alkylsulfonyl, C_1-C_6alkylamino and di-C_1-C_6alkylamino;

W is O, S, -S(O)-, -S(O_2)- or N(R_3);

R_3 is hydrogen, C_1-C_6alkyl, -C(=O)-C_1-C_6alkyl or -C_1-C_6alkyl-O-C_1-C_6alkyl;

m is 0, 1, 2 or 3;

n is 0 or 1; and, when n is 1,

R_4 and R_5 are each independently of the other hydrogen, C_1-C_6alkyl, halo-C_1-C_6alkyl, unsubstituted or mono- or poly-substituted C_3-C_6cycloalkyl, the substituents being selected independently of one another from the group consisting of halogen and C_1-C_6alkyl; or unsubstituted or mono- or poly-substituted phenyl, the substituents being selected independently of one another from the group consisting of halogen, nitro, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_1-C_6alkylthio, halo-C_1-C_6alkylthio, C_1-C_6alkylsulfinyl, halo-C_1-C_6alkylsulfinyl, C_1-C_6alkylsulfonyl, halo-C_1-C_6alkylsulfonyl, C_1-C_6alkylamino and di-C_1-C_6alkylamino;

with the proviso that either A_1 or A_2 is or both A_1 and A_2 are heteroaryl;

and with the further proviso that A_1 and A_2 are not simultaneously pyridyl; that A_1 is not pyridyl when A_2 is phenyl; and that A_2 is not pyridyl when A_1 is phenyl;

and, where applicable, diastereoisomers, E/Z isomers, E/Z isomeric mixtures and/or tautomers, in each case in free form or in salt form;

to a process for the preparation of those compounds and their salts, isomers and tautomers and to the use thereof; to pesticidal compositions in which the active ingredient has been selected from those compounds and their tautomers; and to a process for the preparation of such compositions and to the use thereof; to intermediates, in free form or in salt form, for the preparation of such compounds and, where applicable, tautomers, in free form or in salt form.

Certain substituted aminoacetonitrile compounds having pesticidal activity have been described, but the disclosed active ingredients are not always able to meet the demands made of them in respect of strength of action and spectrum of activity. There is therefore a need for active ingredients having improved pesticidal properties. It has now been found that the aminoacetonitrile compounds of formula (I) have excellent pesticidal properties, especially against insects and members of the order Acarina, in and on plants.

Some of the compounds of formula (I) may be in the form of tautomers. Accordingly, any reference to the compounds of formula (I) hereinabove and hereinbelow is to be understood, where applicable, as including also corresponding tautomers, even if the latter are not specifically mentioned in every case.

Often, however, the prepared compounds are in the form of mixtures of isomers and of their tautomers, in free form or in salt form.

Accordingly, any reference to the compounds of formula (I) hereinabove and hereinbelow is to be understood, where applicable, as including also corresponding isomers, tautomeric forms of the isomers and, where appropriate, the salts of the isomers and tautomers, even if the latter are not specifically mentioned in every case.

The compounds of formula (I) and, where applicable, their tautomers may form salts, e.g. acid addition salts. Such salts are formed, for example, with strong inorganic acids, such as mineral acids, e.g. sulfuric acid, a phosphoric acid or a hydrohalic acid, with strong organic carboxylic acids, such as unsubstituted or substituted, e.g. halo-substituted, C_1-C_4alkane-carboxylic acids, for example acetic acid, saturated or unsaturated dicarboxylic acids, e.g. oxalic, malonic, maleic, fumaric or phthalic acid, hydroxycarboxylic acids, e.g. ascorbic, lactic, malic, tartaric or citric acid, or benzoic acid, or with organic sulfonic acids, such as unsubstituted or substituted, e.g. halo-substituted, C_1-C_4alkane- or aryl-sulfonic acids, e.g. methane- or p-toluene-sulfonic acid. Furthermore, compounds of formula (I) having at least one acid group may form salts with bases. Suitable salts with bases are, for example, metal salts, such as alkali metal or alkaline earth metal salts, e.g. sodium, potassium or magnesium salts, or salts with ammonia or an organic amine, such as morpholine, piperidine, pyrrolidine, a mono-, di- or tri-lower alkylamine, e.g. ethyl-, diethyl-, triethyl- or dimethyl-propyl-amine, or a mono-, di- or tri-hydroxy-lower alkylamine, e.g. mono-, di- or tri-ethanolamine. It may also be possible for corresponding internal salts to be formed. The free form is preferred. Among the salts of compounds of formula (I) preference is given to the agrochemically advantageous salts. Hereinabove and hereinbelow any reference to the free compounds of formula (I) or to their salts is to be understood as including analogously, where appropriate, also the corresponding salts or the free compounds of formula (I), respectively. The same applies to tautomers of compounds of formula (I) and their salts.

Unless defined otherwise, the general terms used hereinabove and hereinbelow have the meanings given below.

Unless defined otherwise, carbon-containing groups and compounds each contain from 1 up to and including 6, preferably from 1 up to and including 4, especially 1 or 2, carbon atoms.

Aryl is phenyl or naphthyl.

Heteroaryl is especially pyridazinyl, pyrimidyl, pyrazinyl, s-triazinyl, 1,2,3-triazinyl, 1,2,4-triazinyl, tetrazinyl, thienyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, oxazolyl, isoxalyl, thiadiazolyl or oxadiazolyl.

Halogen - as a group *per se* and as a structural element of other groups and compounds, such as haloalkyl, haloalkoxy and haloalkylthio - is fluorine, chlorine, bromine or iodine, especially fluorine, chlorine or bromine, more especially fluorine or chlorine.

Alkyl - as a group *per se* and as a structural element of other groups and compounds, such as haloalkyl, alkoxy and alkylthio - is, in each case giving due consideration to the number of carbon atoms contained in the group or compound in question, either straight-chained, i.e. methyl, ethyl, propyl, butyl, pentyl, hexyl, heptyl or octyl, or branched, e.g. isopropyl, isobutyl, sec-butyl, tert-butyl, isopentyl, neopentyl or isohexyl.

Cycloalkyl - as a group *per se* and as a structural element of other groups and compounds, such as halocycloalkyl, cycloalkoxy and cycloalkylthio - is, in each case giving due consideration to the number of carbon atoms contained in the group or compound in question, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl.

Alkenyl - as a group *per se* and as a structural element of other groups and compounds - is, in each case giving due consideration to the number of carbon atoms and conjugated or isolated double bonds contained in the group or compound in question, either straight-chained, e.g. allyl, 2-butenyl, 3-pentenyl, 1-hexenyl, 1-heptenyl, 1,3-hexadienyl or 1,3-octadienyl, or branched, e.g. isopropenyl, isobutenyl, isoprenyl, tert-pentenyl, isohexenyl, isoheptenyl or isooctenyl.

Alkynyl - as a group *per se* and as a structural element of other groups and compounds - is, in each case giving due consideration to the number of carbon atoms and conjugated or isolated double bonds contained in the group or compound in question, either straight-chained, e.g. propargyl, 2-butynyl, 3-pentynyl, 1-hexynyl, 1-heptynyl, 3-hexen-1-ynyl or 1,5-heptadien-3-ynyl, or branched, e.g. 3-methylbut-1-ynyl, 4-ethylpent-1-ynyl, 4-methylhex-2-ynyl or 2-methylhept-3-ynyl.

Alkylene, alkenylene and alkynylene are straight-chained or branched bridging members; especially $-CH_2-$, $-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-$, $-CH(CH_3)-$, $-CH_2(CH_3)CH_2-$, $-CH_2(CH_3)CH_2-CH_2-$, $-CH_2C(CH_3)_2-CH_2-$, $-CH=CH-$, $-CH_2-CH=CH-$, $-CH_2-CH=CH-CH_2-$; $-C\equiv C-$ and $-CH_2C\equiv C-$; more especially $-CH_2-$.

Halo-substituted carbon-containing groups and compounds, such as haloalkyl, haloalkoxy and haloalkylthio, may be partially halogenated or perhalogenated, the halogen substituents in the case of polyhalogenation being the same or different. Examples of haloalkyl - as a group *per se* and as a structural element of other groups and compounds, such as halo-

alkoxy and haloalkylthio - are methyl substituted from one to three times by fluorine, chlorine and/or bromine, such as CHF_2 or CF_3; ethyl substituted from one to five times by fluorine, chlorine and/or bromine, such as CH_2CF_3, CF_2CF_3, CF_2CCl_3, CF_2CHCl_2, CF_2CHF_2, CF_2CFCl_2, CF_2CHBr_2, CF_2CHClF, CF_2CHBrF or $CClFCHClF$; propyl or isopropyl substituted from one to seven times by fluorine, chlorine and/or bromine, such as $CH_2CHBrCH_2Br$, CF_2CHFCF_3, $CH_2CF_2CF_3$ or $CH(CF_3)_2$; butyl or an isomer thereof substituted from one to nine times by fluorine, chorine and/or bromine, such as $CF(CF_3)CHFCF_3$ or $CH_2(CF_2)_2CF_3$; pentyl or an isomer thereof substituted from one to eleven times by fluorine, chlorine and/or bromine, such as $CF(CF_3)(CHF)_2CF_3$ or $CH_2(CF_2)_3CF_3$; and hexyl or an isomer thereof substituted from one to thirteen times by fluorine, chlorine and/or bromine, such as $(CH_2)_4CHBrCH_2Br$, $CF_2(CHF)_4CF_3$, $CH_2(CF_2)_4CF_3$ or $C(CF_3)_2(CHF)_2CF_3$.

Within the context of the present invention, preference is given to

(2) compounds according to (1) of formula (I) wherein the group X-Y is a bond;

(3) compounds according to (1) of formula (I) wherein X is C_1-C_6alkylene and Y is a bond; especially wherein X is $-CH_2-$ and Y is a bond;

(4) compounds according to (1) of formula (I) wherein X is -O- or –S- and Y is C_1-C_6alkylene; especially wherein X is –O- and Y is $-CH_2-$;

(5) compounds according to (1) or (2) of formula (I) wherein X is phenylene and Y is a bond;

(6) compounds according to (1) to (5) of formula (I) wherein A_1 is unsubstituted or substituted phenyl;

(7) compounds according to (1) to (5) of formula (I) wherein A_2 is unsubstituted or substituted phenyl;

(8) compounds according to (1) to (5) of formula (I) wherein A_1 and A_2 are unsubstituted or substituted heteroaryl;

(9) compounds according to (1) to (5), (7) and (8) of formula (I) wherein A_1 is an unsubstituted or substituted heterocyclic 5-membered ring; preferably a ring having from 1 to 3 nitrogen atoms or having 1 or 2 nitrogen atoms and an oxygen or sulfur atom; especially having two nitrogen atoms or a nitrogen atom and a sulfur atom;

(10) compounds according to (1) to (5), (7) and (8) of formula (I) wherein A_1 is an unsubstituted or substituted heterocyclic 6-membered ring; preferably a ring having from 1 to 3 nitrogen atoms or having 1 or 2 nitrogen atoms and an oxygen or sulfur atom; especially

having two nitrogen atoms or a nitrogen atom and a sulfur atom;

(11) compounds according to (1) to (6) and (8) to (10) of formula (I) wherein A_1 is an unsubstituted or substituted heterocyclic 5-membered ring; preferably a ring having from 1 to 3 nitrogen atoms or having 1 or 2 nitrogen atoms and an oxygen or sulfur atom; especially having a sulfur atom or a nitrogen atom and a sulfur atom;

(12) compounds according to (1) to (5) and (8) to (10) of formula (I) wherein A_1 is an unsubstituted or substituted heterocyclic 6-membered ring; preferably a ring having from 1 to 3 nitrogen atoms or having 1 or 2 nitrogen atoms and an oxygen or sulfur atom; especially having two nitrogen atoms or a nitrogen atom and a sulfur atom;

(13) compounds according to (1) to (5) and (8) to (10) of formula (I) wherein A_2 is an unsubstituted or substituted heterocyclic 5- or 6-membered ring having at least one ring oxygen atom;

(14) compounds according to (1) to (5) and (8) to (10) of formula (I) wherein A_2 is an unsubstituted or substituted heterocyclic 5- or 6-membered ring having at least one sulfur atom in the ring;

(15) compounds according to (1) to (5) and (8) to (10) of formula (I) wherein A_2 is an unsubstituted or substituted heterocyclic 5- or 6-membered ring having at least one ring oxygen atom;

(16) compounds according to (1) to (5) and (8) to (10) of formula (I) wherein A_2 is an unsubstituted or substituted heterocyclic 5- or 6-membered ring having at least one sulfur atom in the ring;

(17) compounds according to (1) to (16) of formula (I) wherein V is $-CH_2-$;

(18) compounds according to (1) to (3) of formula (I) wherein W is oxygen;

(19) compounds according to (1) to (16) of formula (I) wherein n is 0;

(20) compounds according to (1) to (16) of formula (I) wherein n is 1 and R_4 and R_5 are H;

(21) compounds according to (1) to (16) of formula (I) wherein A_1 is heteroaryl carrying two adjacent substituents that together are $-CH_2-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-CH_2-$, $-CH=CH-CH_2-$ or $-CH=CH-CH=CH-$ in which one or two of the carbon members may have been replaced by hetero atoms selected from O, S and N and which are unsubstituted or mono- or di-substituted independently of one another by halogen, nitro, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy or halo-C_1-C_6alkoxy;

(22) compounds according to (1) to (16) of formula (I) wherein A_2 is heteroaryl carrying two adjacent substituents that together are -CH_2-CH_2-CH_2-, -CH_2-CH_2-CH_2-CH_2-, -CH=CH-CH_2- or -CH=CH-CH=CH- wherein one or two of the carbon members may have been replaced by hetero atoms selected from O, S and N and which are unsubstituted or mono- or di-substituted independently of one another by halogen, nitro, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy or halo-C_1-C_6alkoxy;

(23) compounds according to (1) to (22) of formula (I) wherein A_1 and A_2 are substituted independently of one another by one or two substituents selected from the group consisting of halogen, nitro, cyano, C_1-C_4alkyl, halo-C_1-C_4alkyl, C_1-C_4alkoxy, halo-C_1-C_4alkoxy, C_3-C_6-cycloalkyl, C_1-C_4alkylthio, halo-C_1-C_4alkylthio, C_1-C_4alkylsulfonyloxy, C_1-C_4alkylsulfonyloxy, C_1-C_6alkylcarbonyl, halo-C_1-C_6alkylcarbonyl and C_1-C_6alkoxycarbonyl;

especially by a substituent selected from the group consisting of fluorine, chlorine, nitro, cyano, methyl, trifluoromethyl, methoxy and trifluoromethoxy;

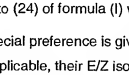
(24) compounds according to (1) to (23) of formula (I) wherein R_1 is H;

(25) compounds according to (1) to (24) of formula (I) wherein R_2 is methyl.

In the context of the invention, special preference is given to the compounds of formula (I) listed in the Tables and, where applicable, their E/Z isomers and E/Z isomeric mixtures and also diastereoisomers, in free form or in salt form.

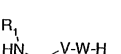
The invention relates also to a process for the preparation of the compounds of formula (I) wherein A_1, A_2, X, Y, R_1, R_2, R_3, R_4, R_5, n and V are as defined above for formula (I), and W is O, S, -S(O_2)- or N(R_3), in which process

(a) a compound of formula



(II),

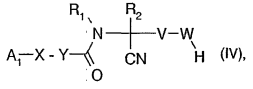
which is known or can be prepared by methods known *per se* and in which W is O, S, -S(O_2)- or N(R_3) and R_1, R_2, R_3 and V are as defined for formula (I), is reacted with a compound of formula



(III),

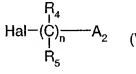
which is known or can be prepared by methods known *per se* and in which A_1, X and Y are as defined for formula (I) and Q is a leaving group, especially chlorine;

(b) the resulting compound of formula



(IV),

wherein A_1, X, Y, R_1, R_2, V and W are as defined for formula (II) under process variant (a), is reacted, preferably in the presence of a base, with a compound of formula



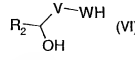
(V),

which is known or can be prepared by methods known *per se* and in which R_4, R_5, n and A_2 are as defined for formula (I) and Hal is a halogen atom, especially chlorine;

and in each case, if desired, a compound of formula (I) obtainable in accordance with the process or by other means or a tautomer thereof, in each case in free form or in salt form, is converted into a different compound of formula (I) or a tautomer thereof, a mixture of isomers obtainable in accordance with the process is separated and the desired isomer isolated, and/or a free compound of formula (I) obtainable in accordance with the process or a tautomer thereof is converted into a salt, or a salt of a compound of formula (I), or of a tautomer thereof, obtainable in accordance with the process is converted into the free compound of formula (I) or a tautomer thereof or into a different salt.

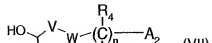
The invention relates also to a process for the preparation of the compounds of formula (I) above and, where applicable, their tautomers, in each case in free form or in salt form, in which process

(c) a compound of formula (V) above is reacted with a compound of formula



(VI),

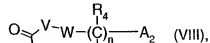
which is known or can be prepared by methods known *per se* and in which R_2, V and W are as defined for formula (I), in the presence of a strong base to form a compound of formula



(VII),

wherein R_4, R_5, V, W, n and A_2 are as defined for formula (I); and

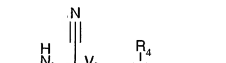
(d) the resulting compound of formula (VII) is reacted with an oxidising agent to form a compound of formula



(VIII),

wherein R_3, R_4, R_5, V, W, n and A_2 are as defined for formula (I);

(e) the resulting compound of formula (VIII) is reacted in the presence of an amine of formula NHR_1, wherein R_1 is as defined for formula (I), with an alkali metal cyanide to form a compound of formula



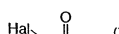
(IX),

wherein R_1, R_2, R_4, R_5, V, W, n and A_2 are as defined for formula (I); and

(f) the resulting compound of formula (IX) is reacted analogously to process variant (a) with a compound of formula (III).

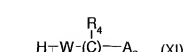
The invention relates also to a process for the preparation of the compounds of formula (I) above and, where applicable, their tautomers, in each case in free form or in salt form, in which process

(g) a compound of formula



(X),

which is known or can be prepared by methods known *per se* and in which R_2 and V are as defined for formula (I) and Hal is a halogen atom, especially chlorine, is reacted with a compound of formula



(XI),

which is known or can be prepared by methods known *per se* and in which R_4, R_5, n, A_2 and W are as defined for formula (I), to form a compound of formula (VIII) above; and that compound of formula (VIII) is reacted further analogously to process steps (e) and (f) above.

The comments made above in connection with tautomers and salts of compounds of formula (I) apply analogously to the starting materials mentioned hereinabove and hereinbelow in respect of their tautomers and salts.

The reactions described hereinabove and hereinbelow are carried out in a manner known *per se*, for example in the absence or, customarily, in the presence of a suitable solvent or diluent or of a mixture thereof, the reactions being carried out, as required, with cooling, at room temperature or with heating, for example in a temperature range of approximately from -80°C to the boiling temperature of the reaction medium, preferably from approximately 0°C to approximately +150°C, and, if necessary, in a closed vessel, under pressure, under an inert gas atmosphere and/or under anhydrous conditions. Especially advantageous reaction conditions can be found in the Examples.

The reaction time is not critical; a reaction time of from about 0.1 to about 24 hours, especially from about 0.5 to about 10 hours, is preferred.

The product is isolated by customary methods, for example by means of filtration, crystallisation, distillation or chromatography, or any suitable combination of such methods.

The starting materials mentioned hereinabove and hereinbelow that are used for the preparation of the compounds of formula (I) and, where applicable, their tautomers, in each case in free form or in salt form, are known in some cases or they can be prepared by methods known *per se*, e.g. as indicated below. Where those starting materials are novel, they are likewise a subject of the present invention. This relates especially to compounds of formula (IX).

Process variant (a):

Suitable leaving groups Q in the compounds of formula (III) are e.g. hydroxy, C_1-C_8alkoxy, halo-C_1-C_8alkoxy, C_1-C_8alkanoyloxy, mercapto, C_1-C_8alkylthio, halo-C_1-C_8alkylthio, C_1-C_8-alkanesulfonyloxy, halo-C_1-C_8alkanesulfonyloxy, benzenesulfonyloxy, toluenesulfonyloxy and

halogen, preferably toluenesulfonyloxy, trifluoromethanesulfonyloxy and halogen, especially halogen; more especially chlorine.

Examples of solvents and diluents include: aromatic, aliphatic and alicyclic hydrocarbons and halogenated hydrocarbons, such as benzene, toluene, xylene, mesitylene, Tetralin, chlorobenzene, dichlorobenzene, bromobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, trichloromethane, tetrachloromethane, dichloroethane, trichloroethene and tetrachloroethene; ethers, such as diethyl ether, dipropyl ether, diisopropyl ether, dibutyl ether, tert-butyl methyl ether, ethylene glycol monomethyl ether, ethylene glycol monoethyl ether, ethylene glycol dimethyl ether, dimethoxydiethyl ether, tetrahydrofuran and dioxane; ketones, such as acetone, methyl ethyl ketone and methyl isobutyl ketone; amides, such as N,N-dimethylformamide, N,N-diethylformamide, N,N-dimethylacetamide and N-methylpyrrolidone; nitriles, such as acetonitrile and propionitrile; and sulfoxides, such as dimethyl sulfoxide. Halogenated hydrocarbons, ethers and also two-phase systems, such as water/ethyl acetate, are especially suitable.

In order to facilitate the reaction it is preferable to add a base, e.g. alkali metal or alkaline earth metal hydroxides, hydrides, amides, alkanolates, acetates, carbonates, dialkylamides or alkylsilylamides; alkylamines, alkylenediamines, unsubstituted or N-alkylated, saturated or unsaturated cycloalkylamines, basic heterocycles, ammonium hydroxides and also carbocyclic amines. Examples include sodium hydroxide, hydride, amide, methanolate, acetate and carbonate, potassium tert-butanolate, hydroxide, carbonate and hydride, lithium diisopropylamide, potassium bis(trimethylsilyl)amide, calcium hydride, triethylamine, diisopropylethylamine, triethylenediamine, cyclohexylamine, N-cyclohexyl-N,N-dimethylamine, N,N-diethylaniline, pyridine, 4-(N,N-dimethylamino)pyridine, quinuclidine, N-methylmorpholine, benzyltrimethylammonium hydroxide and 1,5-diazabicyclo[5.4.0]undec-5-ene (DBU).

The reactions are advantageously carried out in a temperature range of from about room temperature to the boiling point of the solvent used, preferably from room temperature to about +150°C.

Especially preferred conditions for the reaction are described in Example P.1.

In a variant of that procedure, instead of a compound of formula (III) it is possible to use the corresponding acid, that is to say a compound of formula (III) wherein Q is OH. In that case a coupling reagent, such as bis(2-oxo-3-oxazolidinyl)phosphinic acid chloride, is used for carrying out the reaction.

Especially preferred conditions for this process variant are described in Example P.4.

Process variant (b):

Suitable solvents and diluents include those mentioned under process variant (a). Preference is given to amides, for example dimethylformamide and dimethylacetamide.

Suitable bases for facilitating the reaction include those mentioned under process variant a).

Preference is given to a reaction time of from about 1 to about 24 hours, especially from about 12 to about 24 hours; and a reaction temperature of from room temperature to about 150°C.

Especially preferred conditions for the reaction are described in Example P.1.

Process variant (c):

Suitable solvents and diluents include those mentioned under process variant a). Ethers, for example tetrahydrofuran or dioxane, are preferred.

The reaction is advantageously carried out in a temperature range of from about 0°C to about 100°C, preferably at room temperature.

Suitable bases for facilitating the reaction include those mentioned under process variant a). Special preference is given to trialkylamines and alcoholates.

Especially preferred conditions for the reaction are described in Example P.2.

Process variant (d):

Suitable solvents and diluents include those mentioned under process variant (a). Halogenated hydrocarbons, such as methylene chloride, are preferred.

The reaction is advantageously carried out in a temperature range of from about −70°C to about +20°C, preferably at from −60°C to room temperature.

Suitable oxidising agents are e.g. inorganic oxides, such as sodium perborate, potassium permanganate, chromium trioxide and $K_2Cr_2O_7$; or hydrogen peroxide, NaOCl in acetic acid, or organic peracids, such as perbenzoic acid or peracetic acid; mixtures of organic acids and hydrogen peroxide, e.g. acetic acid/hydrogen peroxide; or a mixture of dimethyl sulfoxide and oxalyl chloride.

Especially preferred conditions for the reaction are described in Example P.1.

Process variant (e):

Suitable solvents and diluents include especially water-miscible solvents, more especially cyclic ethers, such as dioxane and tetrahydrofuran, and water. Preference is given to a procedure in which the corresponding required base of formula NHR_1 is used in the form of its aqueous solution.

As cyanides preference is given to alkali metal cyanides, such as sodium or potassium cyanide, and also zinc or copper cyanide.

The reaction is advantageously carried out at from room temperature to +60°C.

Especially preferred conditions for the reaction are described in Example P.2.

Process variant (f):

The conditions are substantially the same as those for process variant (a).

Especially preferred conditions for the reaction are described in Example P.2.

Process variant (g):

Suitable solvents and diluents include those mentioned under process variant (a). Preference is given to ketones, e.g. acetone; or ethers, e.g. tetrahydrofuran; or amides, such as dimethylformamide.

Suitable bases include especially carbonates, such as potassium or sodium carbonate, or metal alcoholates, such as sodium methanolate or potassium tert-butanolate.

Suitable halides in the compounds of formula (X) include especially chlorine and bromine, preferably chlorine. Iodide salts, for example sodium iodide or potassium iodide, can be added to catalyse the reaction.

Especially preferred conditions for the reaction are described in Example P.3.

Salts of compounds of formula (I) can be prepared in a manner known *per se*. For example, acid addition salts of compounds of formula (I) are obtained by treatment with a suitable acid or a suitable ion exchange reagent, and salts with bases are obtained by treatment with a suitable base or a suitable ion exchange reagent.

Salts of compounds of formula (I) can be converted into the free compounds of formula (I) in customary manner; acid addition salts, for example, by treatment with a suitable basic agent or a suitable ion exchange reagent, and salts with bases, for example, by treatment with a suitable acid or a suitable ion exchange reagent.

Salts of compounds of formula (I) can be converted into different salts of compounds of formula (I) in a manner known *per se*; acid addition salts, for example, can be converted into different acid addition salts, for example by treating a salt of an inorganic acid, such as a hydrochloride, with a suitable metal salt, such as a sodium, barium or silver salt, of an acid, for example silver acetate, in a suitable solvent in which an inorganic salt that forms, for example silver chloride, is insoluble and therefore separates out of the reaction mixture.

Depending on the procedure and the reaction conditions, the compounds of formula (I) having salt-forming properties can be obtained in free form or in the form of salts.

The compounds of formula (I) may be in the form of one of the possible isomers or in the form of a mixture thereof, in the form of pure isomers or in the form of an isomeric mixture, i.e. in the form of a racemic mixture; the invention relates both to the pure isomers and to the racemic mixtures and is to be interpreted accordingly hereinabove and hereinbelow, even if stereochemical details are not mentioned specifically in every case.

The racemates can be resolved into the optical antipodes by known methods, for example by recrystallisation from an optically active solvent, by chromatography on chiral adsorbents, for example high pressure liquid chromatography (HPLC) on acetylcellulose, with the aid of suitable microorganisms, by cleavage with specific, immobilised enzymes, or *via* the formation of inclusion compounds, for example using chiral crown ethers, only one isomer being complexed.

Apart from by separation of corresponding mixtures of isomers, pure optical isomers can be obtained according to the invention also by generally known methods of enantioselective synthesis; or by carrying out the process according to the invention using starting materials having correspondingly suitable stereochemistry.

In each case it is advantageous to isolate or synthesise the biologically more active isomer, where the individual components have different biological activity.

The compounds of formula (I) may also be obtained in the form of their hydrates and/or may include other solvents, for example solvents which may have been used for the crystallisation of compounds in solid form.

The invention relates to all those embodiments of the process according to which a compound obtainable as starting material or intermediate at any stage of the process is used as starting material and some or all of the remaining steps are carried out or a starting material

is used in the form of a derivative or salt and/or its racemates or antipodes or, especially, is formed under the reaction conditions.

In the processes of the present invention it is preferable to use those starting materials and intermediates which result in the compounds of formula (I) that are especially preferred.

The invention relates especially to the preparation process described in Examples P.1 to P.4.

In the area of pest control, the compounds of formula (I) according to the invention are active ingredients exhibiting valuable preventive and/or curative activity with a very advantageous biocidal spectrum and a very broad spectrum, even at low rates of concentration, while being well tolerated by warm-blooded animals, fish and plants. They are, surprisingly, equally suitable for controlling both plant pests and ecto- and endo-parasites in humans and more especially in productive livestock, domestic animals and pets. They are effective against all or individual development stages of normally sensitive animal pests, but also of resistant animal pests, such as insects and representatives of the order Acarina, nematodes, cestodes and trematodes, while at the same time protecting useful organisms. The insecticidal or acaricidal activity of the active ingredients according to the invention may manifest itself directly, i.e. in the mortality of the pests, which occurs immediately or only after some time, for example on moulting, or indirectly, for example in reduced oviposition and/or hatching rate, good activity corresponding to a mortality of at least 50 to 60 %.

The action of the compounds according to the invention and the compositions comprising them against animal pests can be significantly broadened and adapted to the given circumstances by the addition of other insecticides and/or acaricides. Suitable additives include, for example, representatives of the following classes of active ingredient: organophosphorus compounds, nitrophenols and derivatives, formamidines, ureas, carbamates, pyrethroids, chlorinated hydrocarbons and Bacillus thuringiensis preparations.

Examples of especially suitable mixing partners include: azamethiphos; chlorfenvinphos; cypermethrin, cypermethrin high-cis; cyromazine; diafenthiuron; diazinon; dichlorvos; dicrotophos; dicyclanil; fenoxycarb; fluazuron; furathiocarb; isazofos; iodofenphos; kinoprene; lufenuron; methacriphos; methidathion; monocrotophos; phosphamidon; profenofos; diofenolan; a substance obtainable from the Bacillus thuringiensis strain GC91 or from NCTC11821; pymetrozine; bromopropylate; methoprene; disulfuton; quinalphos; tau-fluvalinate; thiocyclam; thiometon; aldicarb; azinphos-methyl; benfuracarb; bifenthrin; buprofezin; carbofuran; dibutylaminothio; cartap; chlorfluazuron; chlorpyrifos; clothianidin;

cyfluthrin; lambda-cyhalothrin; alpha-cypermethrin; zeta-cypermethrin; deltamethrin; diflubenzuron; endosulfan; ethiofencarb; fenitrothion; fenobucarb; fenvalerate; formothion; methiocarb; heptenophos; imidacloprid; isoprocarb; methamidophos; methomyl; mevinphos; parathion; parathion-methyl; phosalone; pirimicarb; propoxur; teflubenzuron; terbufos; triazamate; abamectin; fenobucarb; tebufenozide; fipronil; beta-cyfluthrin; silafluofen; fenpyroximate; pyridaben; fenazaquin; pyriproxyfen; pyrimidifen; nitenpyram; NI-25, acetamiprid; avermectin B_1 (abamectin); an insect-active extract from a plant; a preparation comprising insect-active nematodes; a preparation obtainable from Bacillus subtilis; a preparation comprising insect-active fungi; a preparation comprising insect-active viruses; AC 303 630; acephate; acrinathrin; alanycarb; alphamethrin; amitraz; AZ 60541; azinphos A; azinphos M; azocyclotin; bendiocarb; bensultap; betacyfluthrin; BPMC; brofenprox; bromophos A; bufencarb; butocarboxim; butylpyridaben; cadusafos; carbaryl; carbophenothion; chloethocarb; chlorethoxyfos; chlormephos; cis-res-methrin; clocythrin; clofentezine; cyanophos; cycloprothrin; cyhexatin; demeton M; demeton S; demeton-S-methyl; dichlofenthion; dicliphos; diethion; dimethoate; dimethylvinphos; dioxathion; edifenphos; emamectin; esfenvalerate; ethion; ethofenprox; ethoprophos; etrimphos; fenamiphos; fenbutatin oxide; fenothiocarb; fenpropathrin; fenpyrad; fenthion; fluazinam; flucycloxuron; flucythrinate; flufenoxuron; flufenprox; fonophos; fosthiazate; fubfenprox; HCH; hexaflumuron; hexythiazox; iprobenfos; isofenphos; isoxathion; ivermectin; lambda-cyhalothrin; malathion; mecarbam; mesulfenphos; metaldehyde; metolcarb; milbemectin; moxidectin; naled; NC 184; omethoate; oxamyl; oxydemethon M; oxydeprofos; permethrin; phenthoate; phorate; phosmet; phoxim; pirimiphos M; pirimiphos A; promecarb; propaphos; prothiofos; prothoate; pyrachlophos; pyrada-phenthion; pyresmethrin; pyrethrum; RH 5992; salithion; sebufos; spinosad; sulfotep; sulprofos; tebufenpyrad; tebupirimphos; tefluthrin; temephos; terbam; tetrachlorvinphos; thiacloprid; thiamethoxam; thiafenox; thiodicarb; thiofanox; thionazin; thuringiensin; tralomethrin; triarthen; triazophos; triazuron; trichlorfon; triflumuron; trimethacarb; vamidothion; xylylcarb; YI 5301/5302; zetamethrin; DPX-MP062; RH-2485; D 2341 or XMC (3,5-xylyl methylcarbamate).

The said animal pests include, for example, those mentioned in European Patent Application EP-A-736 252, page 5, line 55, to page 6, line 55. The pests mentioned therein are therefore included by reference in the subject matter of the present invention.

It is also possible to control pests of the class Nematoda using the compounds according to the invention. Such pests include, for example,

root knot nematodes, cyst-forming nematodes and also stem and leaf nematodes;

especially of Heterodera spp., e.g. Heterodera schachtii, Heterodora avenae and Heterodora trifolii; Globodera spp., e.g. Globodera rostochiensis; Meloidogyne spp., e.g. Meloidogyne incognita and Meloidogyne javanica; Radopholus spp., e.g. Radopholus similis; Pratylenchus, e.g. Pratylenchus neglectans and Pratylenchus penetrans; Tylenchulus, e.g. Tylenchulus semipenetrans; Longidorus, Trichodorus, Xiphinema, Ditylenchus, Aphelenchoides and Anguina;

especially Meloidogyne, e.g. Meloidogyne incognita, and Heterodera, e.g. Heterodera glycines.

An especially important aspect of the present invention is the use of the compounds of formula (I) according to the invention in the protection of plants against parasitic feeding pests.

The active ingredients according to the invention can be used to control, i.e. to inhibit or destroy, pests of the mentioned type occurring on plants, especially on useful plants and ornamentals in agriculture, in horticulture and in forestry, or on parts of such plants, such as the fruits, blossoms, leaves, stems, tubers or roots, while in some cases plant parts that grow later are still protected against those pests.

Target crops include especially cereals, such as wheat, barley, rye, oats, rice, maize and sorghum; beet, such as sugar beet and fodder beet; fruit, e.g. pomes, stone fruit and soft fruit, such as apples, pears, plums, peaches, almonds, cherries and berries, e.g. strawberries, raspberries and blackberries; leguminous plants, such as beans, lentils, peas and soybeans; oil plants, such as rape, mustard, poppy, olives, sunflowers, coconut, castor oil, cocoa and groundnuts; cucurbitaceae, such as marrows, cucumbers and melons; fibre plants, such as cotton, flax, hemp and jute; citrus fruits, such as oranges, lemons, grapefruit and mandarins; vegetables, such as spinach, lettuce, asparagus, cabbages, carrots, onions, tomatoes, potatoes and paprika; lauraceae, such as avocado, cinnamon and camphor; and tobacco, nuts, coffee, aubergines, sugar cane, tea, pepper, vines, hops, bananas, natural rubber plants and ornamentals.

Further areas of use of the active ingredients according to the invention are the protection of stored goods and storerooms and the protection of raw materials, and also in the hygiene sector, especially the protection of domestic animals and productive livestock against pests

of the mentioned type, more especially the protection of domestic animals, especially cats and dogs, from attack by fleas, ticks and nematodes.

The invention therefore relates also to pesticidal compositions, such as emulsifiable concentrates, suspension concentrates, directly sprayable or dilutable solutions, spreadable pastes, dilute emulsions, wettable powders, soluble powders, dispersible powders, wettable powders, dusts, granules and encapsulations of polymer substances, that comprise at least one of the active ingredients according to the invention, the choice of formulation being made in accordance with the intended objectives and the prevailing circumstances.

The active ingredient is used in those compositions in pure form, a solid active ingredient, for example, in a specific particle size, or preferably together with at least one of the adjuvants customary in formulation technology, such as extenders, e.g. solvents or solid carriers, or surface-active compounds (surfactants). In the area of parasite control in humans, domestic animals, productive livestock and pets it will be self-evident that only physiologically tolerable additives are used.

As formulation adjuvants there are used, for example, solid carriers, solvents, stabilisers, "slow release" adjuvants, colourings and optionally surface-active substances (surfactants). Suitable carriers and adjuvants include all substances customarily used. As adjuvants, such as solvents, solid carriers, surface-active compounds, non-ionic surfactants, cationic surfactants, anionic surfactants and further adjuvants in the compositions used according to the invention, there come into consideration, for example, those described in EP-A-736 252, page 7, line 51 to page 8, line 39.

The compositions for use in crop protection and in humans, domestic animals, productive livestock and pets generally comprise from 0.1 to 99 %, especially from 0.1 to 95 %, of active ingredient and from 1 to 99.9 %, especially from 5 to 99.9 %, of at least one solid or liquid adjuvant, the composition generally including from 0 to 25 %, especially from 0.1 to 20 %, of surfactants (% = percent by weight in each case). Whereas commercial products will preferably be formulated as concentrates, the end user will normally employ dilute formulations having considerably lower concentrations of active ingredient.

Preferred crop protection products have especially the following compositions (% = percent by weight):

Emulsifiable concentrates:

active ingredient:	1 to 90%, preferably 5 to 20%
surfactant:	1 to 30%, preferably 10 to 20%
solvent:	5 to 98%, preferably 70 to 85%

Dusts:

active ingredient:	0.1 to 10%, preferably 0.1 to 1%
solid carrier:	99.9 to 90%, preferably 99.9 to 99%

Suspension concentrates:

active ingredient:	5 to 75%, preferably 10 to 50%
water:	94 to 24%, preferably 88 to 30%
surfactant:	1 to 40%, preferably 2 to 30%

Wettable powders:

active ingredient:	0.5 to 90%, preferably 1 to 80%
surfactant:	0.5 to 20%, preferably 1 to 15%
solid carrier:	5 to 99%, preferably 15 to 98%

Granules:

active ingredient:	0.5 to 30%, preferably 3 to 15%
solid carrier:	99.5 to 70%, preferably 97 to 85%

The compositions according to the invention may also comprise further solid or liquid adjuvants, such as stabilisers, e.g. vegetable oils or epoxidised vegetable oils (e.g. epoxidised coconut oil, rapeseed oil or soybean oil), antifoams, e.g. silicone oil, preservatives, viscosity regulators, binders and/or tackifiers as well as fertilisers or other active ingredients for obtaining special effects, e.g. acaricides, bactericides, fungicides, nematicides, molluscicides or selective herbicides.

The crop protection products according to the invention are prepared in known manner, in the absence of adjuvants, e.g. by grinding, sieving and/or compressing a solid active ingredient or mixture of active ingredients, for example to a certain particle size, and in the presence of at least one adjuvant, for example by intimately mixing and/or grinding the active ingredient or mixture of active ingredients with the adjuvant(s). The invention relates likewise to those processes for the preparation of the compositions according to the invention and to the use of the compounds of formula (I) in the preparation of those compositions.

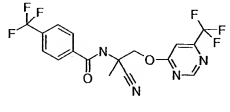
The invention relates also to the methods of application of the crop protection products, i.e. the methods of controlling pests of the mentioned type, such as spraying, atomising, dusting, coating, dressing, scattering or pouring, which are selected in accordance with the intended objectives and the prevailing circumstances, and to the use of the compositions for controlling pests of the mentioned type. Typical rates of concentration are from 0.1 to 1000 ppm, preferably from 0.1 to 500 ppm, of active ingredient. The rates of application per hectare are generally from 1 to 2000 g of active ingredient per hectare, especially from 10 to 1000 g/ha, preferably from 20 to 600 g/ha.

A preferred method of application in the area of crop protection is application to the foliage of the plants (foliar application), the frequency and the rate of application being dependent upon the risk of infestation by the pest in question. However, the active ingredient can also penetrate the plants through the roots (systemic action) when the locus of the plants is impregnated with a liquid formulation or when the active ingredient is incorporated in solid form, e.g. in granular form, into the locus of the plants, for example into the soil (soil application). In the case of paddy rice crops, such granules may be applied in metered amounts to the flooded rice field.

The crop protection products according to the invention are also suitable for protecting plant propagation material, e.g. seed material, such as fruits, tubers or grains, or plant cuttings, against animal pests. The propagation material can be treated with the composition before planting: seed, for example, can be dressed before being sown. The active ingredients according to the invention can also be applied to grains (coating), either by impregnating the seeds in a liquid formulation or by coating them with a solid formulation. The composition can also be applied to the planting site when the propagation material is being planted, for example to the seed furrow during sowing. The invention relates also to such methods of treating plant propagation material and to the plant propagation material so treated.

Preparation Examples

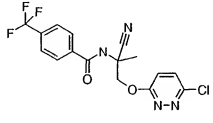
Example P.1: Preparation of N-[1-cyano-1-methyl-2-(6-trifluoromethyl-pyrimidin-4-yloxy)-ethyl]-4-trifluoromethyl-benzamide of formula



P.1a) N-(1-Cyano-2-hydroxy-1-methyl-ethyl)-4-trifluoromethyl-benzamide
160 ml of 1N $NaHCO_3$ are added to a solution of 13.4 g of 2-amino-3-hydroxy-2-methyl-propionitrile in 160 ml of ethyl acetate and then 28 g of 4-(trifluoromethyl)-benzoyl chloride are added dropwise. After being stirred for 2 hours at room temperature, the reaction mixture is poured into water and extracted with ethyl acetate. After recrystallisation from diisopropyl ether, (1-cyano-2-hydroxy-1-methyl-ethyl)-4-trifluoromethyl-benzamide is obtained.

P.1b) 185 mg of potassium tert-butanolate are added to a solution of 300 mg of N-(1-cyano-2-hydroxy-1-methyl-ethyl)-4-trifluoromethyl-benzamide in 1.5 ml of dimethylformamide and the mixture is stirred at room temperature for 45 minutes. Then 221 mg of 4-chloro-6-trifluoromethylpyrimidine are added and the mixture is stirred at room temperature for 20 hours. The reaction mixture is poured into water and extracted with ethyl acetate. After purification over silica gel, the title product having a melting point of 216-218°C is obtained.

Example P.2: Preparation of N-[2-(6-chloro-pyridazin-3-yloxy)-1-cyano-1-methyl-ethyl]-4-trifluoromethyl-benzamide of formula



P.2a): 1-(6-Chloro-pyridazin-3-yloxy)-propan-2-ol
9 g of potassium tert-butanolate are added in portions at room temperature to a solution of 7.7 g of 1,2-propanediol in 100 ml of THF. After 2 hours, 10 g of 3,6-dichloropyridazine are added at room temperature and the mixture is then stirred for 1 hour. The reaction mixture is then poured into dilute ammonium chloride solution and extracted with ethyl acetate. After purification over silica gel, 7.2 g of 1-(6-chloro-pyridazin-3-yloxy)-propan-2-ol are obtained.

P.2b): 1-(6-Chloro-pyridazin-3-yloxy)-propan-2-one
6.6 g of dimethyl sulfoxide are added dropwise at –60°C to a solution of 6.3 g of oxalyl chloride in 90 ml of methylene chloride. After 10 minutes, a solution of 7.2 g of 1-(6-chloro-pyridazin-3-yloxy)-propan-2-ol in 40 ml of methylene chloride is added dropwise and the mixture is stirred for 15 minutes; then 30 ml of triethylamine are added dropwise and after a

further 30 minutes' stirring at –60°C the mixture is heated to room temperature. The reaction mixture is poured into water and extracted with methylene chloride. 1-(6-Chloro-pyridazin-3-yloxy)-propan-2-one is obtained in that manner.

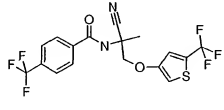
P.2c): 2-Amino-3-(6-chloro-pyridazin-3-yloxy)-2-methyl-propionitrile

6.3 g of 1-(6-chloro-pyridazin-3-yloxy)-propan-2-one are added to a solution of 2.7 g of ammonium chloride and 2 g of sodium cyanide in 140 ml of ammonia 28% and the mixture is stirred at room temperature for 16 hours. The reaction mixture is extracted with ethyl acetate. After purification over silica gel, 2.8 g of 2-amino-3-(6-chloro-pyridazin-3-yloxy)-2-methyl-propionitrile are obtained.

P.2d): N-[2-(6-Chloro-pyridazin-3-yloxy)-1-cyano-1-methyl-ethyl]-4-trifluoromethyl-benzamide

0.085 ml of triethylamine, 79 mg of bis(2-oxo-3-oxazolidinyl)phosphinic acid chloride and 64 mg of 2-amino-3-(6-chloro-pyridazin-3-yloxy)-2-methyl-propionitrile are added to a solution of 61 mg of 4-(trifluoromethyl)-benzoic acid in 3 ml of methylene chloride. After 16 hours' stirring at 40°C, the reaction mixture is poured into water and extracted with methylene chloride. After purification over silica gel, the title product having a melting point of 165-168°C is obtained.

Example P.3: Preparation of N-[1-cyano-1-methyl-2-(5-trifluoromethyl-thiophen-3-yloxy)-ethyl]-4-trifluoromethyl-benzamide of formula



P.3a): 1-(5-Trifluoromethyl-thiophen-3-yloxy)-propan-2-one

1.99 g of potassium carbonate, 0.5 g of potassium iodide and 1.75 g of chloroacetone are added to a solution of 2.02 g of 5-trifluoromethyl-thiophen-3-ol in 40 ml of acetone. After 4 hours' stirring at reflux temperature, the reaction mixture is filtered and the solvent is evaporated off. The product so obtained is reacted further without purification.

P.3b): 2-Amino-2-methyl-3-(5-trifluoromethyl-thiophen-3-yloxy)-propionitrile

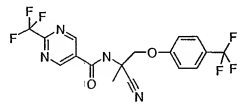
50 ml of ammonia 32% are added to 2.9 g of 1-(5-trifluoromethyl-thiophen-3-yloxy)-propan-2-one; 0.73 g of sodium cyanide and 0.966 g of ammonium chloride are added and the mixture is stirred at room temperature for 20 hours. The reaction mixture is extracted with ethyl acetate. After purification over silica gel, 1.7 g of 2-amino-2-methyl-3-(5-trifluoro-

methyl-thiophen-3-yloxy)-propionitrile are obtained.

P.3c): N-[1-Cyano-1-methyl-2-(5-trifluoromethyl-thiophen-3-yloxy)-ethyl]-4-trifluoromethyl-benzamide

0.085 ml of triethylamine, 79 mg of bis(2-oxo-3-oxazolidinyl)phosphinic acid chloride and 75 mg of 2-amino-2-methyl-3-(5-trifluoromethyl-thiophen-3-yloxy)-propionitrile are added to a solution of 61 mg of 4-(trifluoromethyl)-benzoic acid in 3 ml of methylene chloride. After 16 hours' stirring at 40°C, the reaction mixture is poured into water and extracted with methylene chloride. N-[1-Cyano-1-methyl-2-(5-trifluoromethyl-thiophen-3-yloxy)-ethyl]-4-trifluoromethyl-benzamide are obtained after purification over silica gel. Melting point: 83-87°C.

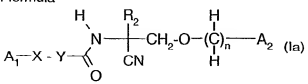
Example P.4: Preparation of 2-Trifluoromethyl-pyrimidine-5-carboxylic acid [cyano-methyl-(4-trifluoromethyl-phenoxymethyl)-methyl]-amide of formula



101 mg of triethylamine, 127 mg of bis(2-oxo-3-oxazolidinyl)phosphinic acid chloride and 122 mg of 2-amino-2-methyl-3-(4-trifluoromethyl-phenoxy)-propionitrile are added to a solution of 96 mg of 2-trifluoromethyl-pyrimidine-5-carboxylic acid in 3 ml of methylene chloride. After 16 hours' stirring at 40°C, the reaction mixture is poured into water and extracted with methylene chloride. After purification over silica gel, the title compound having a melting point of 179-181°C is obtained.

Example P.5: In a manner analogous to that described in Examples P.1 to P.4 it is also possible to prepare the compounds of formula (I) listed in Tables 1 to 44.

Table A: Compounds of formula



(Ia)

No.	A_1	X-Y	R_2	n
A.1	4-chloro-phenyl	-	H	0
A.2	4-chloro-phenyl	-	H	1

No.	A_1	X-Y	R_2	n
A.3	4-chloro-phenyl	-	CH_3	0
A.4	4-chloro-phenyl	-	CH_3	1
A.5	4-chloro-phenyl	$-CH_2-$	H	0
A.6	4-chloro-phenyl	$-CH_2-$	H	1
A.7	4-chloro-phenyl	$-CH_2-$	CH_3	0
A.8	4-chloro-phenyl	$-CH_2-$	CH_3	1
A.9	4-fluoro-phenyl	-	H	0
A.10	4-fluoro-phenyl	-	H	1
A.11	4-fluoro-phenyl	-	CH_3	0
A.12	4-fluoro-phenyl	-	CH_3	1
A.13	4-fluoro-phenyl	$-CH_2-$	H	0
A.14	4-fluoro-phenyl	$-CH_2-$	H	1
A.15	4-fluoro-phenyl	$-CH_2-$	CH_3	0
A.16	4-fluoro-phenyl	$-CH_2-$	CH_3	1
A.17	4-trifluoromethyl-phenyl	-	H	0
A.18	4-trifluoromethyl-phenyl	-	H	1
A.19	4-trifluoromethyl-phenyl	-	CH_3	0
A.20	4-trifluoromethyl-phenyl	-	CH_3	1
A.21	4-trifluoromethyl-phenyl	$-CH_2-$	H	0
A.22	4-trifluoromethyl-phenyl	$-CH_2-$	H	1
A.23	4-trifluoromethyl-phenyl	$-CH_2-$	CH_3	0
A.24	4-trifluoromethyl-phenyl	$-CH_2-$	CH_3	1
A.25	4-trifluoromethoxy-phenyl	-	H	0
A.26	4-trifluoromethoxy-phenyl	-	H	1
A.27	4-trifluoromethoxy-phenyl	-	CH_3	0
A.28	4-trifluoromethoxy-phenyl	-	CH_3	1
A.29	4-trifluoromethoxy-phenyl	$-CH_2-$	H	0
A.30	4-trifluoromethoxy-phenyl	$-CH_2-$	H	1
A.31	4-trifluoromethoxy-phenyl	$-CH_2-$	CH_3	0
A.32	4-trifluoromethoxy-phenyl	$-CH_2-$	CH_3	1
A.33	4-methoxy-phenyl	-	H	0
A.34	4-methoxy-phenyl	-	H	1
A.35	4-methoxy-phenyl	-	CH_3	0

No.	A_1	X-Y	R_2	n
A.36	4-methoxy-phenyl	-	CH_3	1
A.37	4-methoxy-phenyl	$-CH_2-$	H	0
A.38	4-methoxy-phenyl	$-CH_2-$	H	1
A.39	4-methoxy-phenyl	$-CH_2-$	CH_3	0
A.40	4-methoxy-phenyl	$-CH_2-$	CH_3	1
A.41	4-cyano-phenyl	-	H	0
A.42	4-cyano-phenyl	-	H	1
A.43	4-cyano-phenyl	-	CH_3	0
A.44	4-cyano-phenyl	-	CH_3	1
A.45	4-cyano-phenyl	$-CH_2-$	H	0
A.46	4-cyano-phenyl	$-CH_2-$	H	1
A.47	4-cyano-phenyl	$-CH_2-$	CH_3	0
A.48	4-cyano-phenyl	$-CH_2-$	CH_3	1
A.49	4-nitro-phenyl	-	H	0
A.50	4-nitro-phenyl	-	H	1
A.51	4-nitro-phenyl	-	CH_3	0
A.52	4-nitro-phenyl	-	CH_3	1
A.53	4-nitro-phenyl	$-CH_2-$	H	0
A.54	4-nitro-phenyl	$-CH_2-$	H	1
A.55	4-nitro-phenyl	$-CH_2-$	CH_3	0
A.56	4-nitro-phenyl	$-CH_2-$	CH_3	1
A.57	3-chloro-phenyl	-	H	0
A.58	3-chloro-phenyl	-	H	1
A.59	3-chloro-phenyl	-	CH_3	0
A.60	3-chloro-phenyl	-	CH_3	1
A.61	3-chloro-phenyl	$-CH_2-$	H	0
A.62	3-chloro-phenyl	$-CH_2-$	H	1
A.63	3-chloro-phenyl	$-CH_2-$	CH_3	0
A.64	3-chloro-phenyl	$-CH_2-$	CH_3	1
A.65	3-fluoro-phenyl	-	H	0
A.66	3-fluoro-phenyl	-	H	1
A.67	3-fluoro-phenyl	-	CH_3	0
A.68	3-fluoro-phenyl	-	CH_3	1

No.	A_1	X-Y	R_2	n
A.69	3-fluoro-phenyl	$-CH_2-$	H	0
A.70	3-fluoro-phenyl	$-CH_2-$	H	1
A.71	3-fluoro-phenyl	$-CH_2-$	CH_3	0
A.72	3-fluoro-phenyl	$-CH_2-$	CH_3	1
A.73	3-trifluoromethyl-phenyl	-	H	0
A.74	3-trifluoromethyl-phenyl	-	H	1
A.75	3-trifluoromethyl-phenyl	-	CH_3	0
A.76	3-trifluoromethyl-phenyl	-	CH_3	1
A.77	3-trifluoromethyl-phenyl	$-CH_2-$	H	0
A.78	3-trifluoromethyl-phenyl	$-CH_2-$	H	1
A.79	3-trifluoromethyl-phenyl	$-CH_2-$	CH_3	0
A.80	3-trifluoromethyl-phenyl	$-CH_2-$	CH_3	1
A.81	3-trifluoromethoxy-phenyl	-	H	0
A.82	3-trifluoromethoxy-phenyl	-	H	1
A.83	3-trifluoromethoxy-phenyl	-	CH_3	0
A.84	3-trifluoromethoxy-phenyl	-	CH_3	1
A.85	3-trifluoromethoxy-phenyl	$-CH_2-$	H	0
A.86	3-trifluoromethoxy-phenyl	$-CH_2-$	H	1
A.87	3-trifluoromethoxy-phenyl	$-CH_2-$	CH_3	0
A.88	3-trifluoromethoxy-phenyl	$-CH_2-$	CH_3	1
A.89	3-cyano-phenyl	-	H	0
A.90	3-cyano-phenyl	-	H	1
A.91	3-cyano-phenyl	-	CH_3	0
A.92	3-cyano-phenyl	-	CH_3	1
A.93	3-cyano-phenyl	$-CH_2-$	H	0
A.94	3-cyano-phenyl	$-CH_2-$	H	1
A.95	3-cyano-phenyl	$-CH_2-$	CH_3	0
A.96	3-cyano-phenyl	$-CH_2-$	CH_3	1
A.97	3-nitro-phenyl	-	H	0
A.98	3-nitro-phenyl	-	H	1
A.99	3-nitro-phenyl	-	CH_3	0
A.100	3-nitro-phenyl	-	CH_3	1
A.101	3-nitro-phenyl	$-CH_2-$	H	0

No.	A_1	X-Y	R_2	n
A.102	3-nitro-phenyl	$-CH_2-$	H	1
A.103	3-nitro-phenyl	$-CH_2-$	CH_3	0
A.104	3-nitro-phenyl	$-CH_2-$	CH_3	1
A.105	2-chloro-phenyl	-	H	0
A.106	2-chloro-phenyl	-	H	1
A.107	2-chloro-phenyl	-	CH_3	0
A.108	2-chloro-phenyl	-	CH_3	1
A.109	2-chloro-phenyl	$-CH_2-$	H	0
A.110	2-chloro-phenyl	$-CH_2-$	H	1
A.111	2-chloro-phenyl	$-CH_2-$	CH_3	0
A.112	2-chloro-phenyl	$-CH_2-$	CH_3	1
A.113	2-fluoro-phenyl	-	H	0
A.114	2-fluoro-phenyl	-	H	1
A.115	2-fluoro-phenyl	-	CH_3	0
A.116	2-fluoro-phenyl	-	CH_3	1
A.117	2-fluoro-phenyl	$-CH_2-$	H	0
A.118	2-fluoro-phenyl	$-CH_2-$	H	1
A.119	2-fluoro-phenyl	$-CH_2-$	CH_3	0
A.120	2-fluoro-phenyl	$-CH_2-$	CH_3	1
A.121	2-trifluoromethyl-phenyl	-	H	0
A.122	2-trifluoromethyl-phenyl	-	H	1
A.123	2-trifluoromethyl-phenyl	-	CH_3	0
A.124	2-trifluoromethyl-phenyl	-	CH_3	1
A.125	2-trifluoromethyl-phenyl	$-CH_2-$	H	0
A.126	2-trifluoromethyl-phenyl	$-CH_2-$	H	1
A.127	2-trifluoromethyl-phenyl	$-CH_2-$	CH_3	0
A.128	2-trifluoromethyl-phenyl	$-CH_2-$	CH_3	1
A.129	2-trifluoromethoxy-phenyl	-	H	0
A.130	2-trifluoromethoxy-phenyl	-	H	1
A.131	2-trifluoromethoxy-phenyl	-	CH_3	0
A.132	2-trifluoromethoxy-phenyl	-	CH_3	1
A.133	2-trifluoromethoxy-phenyl	$-CH_2-$	H	0
A.134	2-trifluoromethoxy-phenyl	$-CH_2-$	H	1

No.	A_1	X-Y	R_2	n
A.135	2-trifluoromethoxy-phenyl	$-CH_2-$	CH_3	0
A.136	2-trifluoromethoxy-phenyl	$-CH_2-$	CH_3	1
A.137	3-methoxy-phenyl	-	H	0
A.138	3-methoxy-phenyl	-	H	1
A.139	3-methoxy-phenyl	-	CH_3	0
A.140	3-methoxy-phenyl	-	CH_3	1
A.141	3-methoxy-phenyl	$-CH_2-$	H	0
A.142	3-methoxy-phenyl	$-CH_2-$	H	1
A.143	3-methoxy-phenyl	$-CH_2-$	CH_3	0
A.144	3-methoxy-phenyl	$-CH_2-$	CH_3	1
A.145	2-cyano-phenyl	-	H	0
A.146	2-cyano-phenyl	-	H	1
A.147	2-cyano-phenyl	-	CH_3	0
A.148	2-cyano-phenyl	-	CH_3	1
A.149	2-cyano-phenyl	$-CH_2-$	H	0
A.150	2-cyano-phenyl	$-CH_2-$	H	1
A.151	2-cyano-phenyl	$-CH_2-$	CH_3	0
A.152	2-cyano-phenyl	$-CH_2-$	CH_3	1
A.153	2-nitro-phenyl	-	H	0
A.154	2-nitro-phenyl	-	H	1
A.155	2-nitro-phenyl	-	CH_3	0
A.156	2-nitro-phenyl	-	CH_3	1
A.157	2-nitro-phenyl	$-CH_2-$	H	0
A.158	2-nitro-phenyl	$-CH_2-$	H	1
A.159	2-nitro-phenyl	$-CH_2-$	CH_3	0
A.160	2-nitro-phenyl	$-CH_2-$	CH_3	1
A.161	3,4-dichloro-phenyl	-	H	0
A.162	3,4-dichloro-phenyl	-	H	1
A.163	3,4-dichloro-phenyl	-	CH_3	0
A.164	3,4-dichloro-phenyl	-	CH_3	1
A.165	3,4-dichloro-phenyl	$-CH_2-$	H	0
A.166	3,4-dichloro-phenyl	$-CH_2-$	H	1
A.167	3,4-dichloro-phenyl	$-CH_2-$	CH_3	0

No.	A_1	X-Y	R_2	n
A.168	3,4-dichloro-phenyl	$-CH_2-$	CH_3	1
A.169	2,4-dichloro-phenyl	-	H	0
A.170	2,4-dichloro-phenyl	-	H	1
A.171	2,4-dichloro-phenyl	-	CH_3	0
A.172	2,4-dichloro-phenyl	-	CH_3	1
A.173	2,4-dichloro-phenyl	$-CH_2-$	H	0
A.174	2,4-dichloro-phenyl	$-CH_2-$	H	1
A.175	2,4-dichloro-phenyl	$-CH_2-$	CH_3	0
A.176	2,4-dichloro-phenyl	$-CH_2-$	CH_3	1
A.177	3,5-dichloro-phenyl	-	H	0
A.178	3,5-dichloro-phenyl	-	H	1
A.179	3,5-dichloro-phenyl	-	CH_3	0
A.180	3,5-dichloro-phenyl	-	CH_3	1
A.181	3,5-dichloro-phenyl	$-CH_2-$	H	0
A.182	3,5-dichloro-phenyl	$-CH_2-$	H	1
A.183	3,5-dichloro-phenyl	$-CH_2-$	CH_3	0
A.184	3,5-dichloro-phenyl	$-CH_2-$	CH_3	1
A.185	2,6-dichloro-phenyl	-	H	0
A.186	2,6-dichloro-phenyl	-	H	1
A.187	2,6-dichloro-phenyl	-	CH_3	0
A.188	2,6-dichloro-phenyl	-	CH_3	1
A.189	2,6-dichloro-phenyl	$-CH_2-$	H	0
A.190	2,6-dichloro-phenyl	$-CH_2-$	H	1
A.191	2,6-dichloro-phenyl	$-CH_2-$	CH_3	0
A.192	2,6-dichloro-phenyl	$-CH_2-$	CH_3	1
A.193	2,3-dichloro-phenyl	-	H	0
A.194	2,3-dichloro-phenyl	-	H	1
A.195	2,3-dichloro-phenyl	-	CH_3	0
A.196	2,3-dichloro-phenyl	-	CH_3	1
A.197	2,3-dichloro-phenyl	$-CH_2-$	H	0
A.198	2,3-dichloro-phenyl	$-CH_2-$	H	1
A.199	2,3-dichloro-phenyl	$-CH_2-$	CH_3	0
A.200	2,3-dichloro-phenyl	$-CH_2-$	CH_3	1

No.	A_1	X-Y	R_2	n
A.201	2,3-dimethyl-phenyl	-	H	0
A.202	2,3-dimethyl-phenyl	-	H	1
A.203	2,3-dimethyl-phenyl	-	CH_3	0
A.204	2,3-dimethyl-phenyl	-	CH_3	1
A.205	2,3-dimethyl-phenyl	$-CH_2-$	H	0
A.206	2,3-dimethyl-phenyl	$-CH_2-$	H	1
A.207	2,3-dimethyl-phenyl	$-CH_2-$	CH_3	0
A.208	2,3-dimethyl-phenyl	$-CH_2-$	CH_3	1
A.209	3,5-dimethyl-phenyl	-	H	0
A.210	3,5-dimethyl-phenyl	-	H	1
A.211	3,5-dimethyl-phenyl	-	CH_3	0
A.212	3,5-dimethyl-phenyl	-	CH_3	1
A.213	3,5-dimethyl-phenyl	$-CH_2-$	H	0
A.214	3,5-dimethyl-phenyl	$-CH_2-$	H	1
A.215	3,5-dimethyl-phenyl	$-CH_2-$	CH_3	0
A.216	3,5-dimethyl-phenyl	$-CH_2-$	CH_3	1
A.217	2,4-dimethyl-phenyl	-	H	0
A.218	2,4-dimethyl-phenyl	-	H	1
A.219	2,4-dimethyl-phenyl	-	CH_3	0
A.220	2,4-dimethyl-phenyl	-	CH_3	1
A.221	2,4-dimethyl-phenyl	$-CH_2-$	H	0
A.222	2,4-dimethyl-phenyl	$-CH_2-$	H	1
A.223	2,4-dimethyl-phenyl	$-CH_2-$	CH_3	0
A.224	2,4-dimethyl-phenyl	$-CH_2-$	CH_3	1
A.225	4-(4-chlorophenoxy)-phenyl	-	H	0
A.226	4-(4-chlorophenoxy)-phenyl	-	H	1
A.227	4-(4-chlorophenoxy)-phenyl	-	CH_3	0
A.228	4-(4-chlorophenoxy)-phenyl	-	CH_3	1
A.229	4-(4-chlorophenoxy)-phenyl	$-CH_2-$	H	0
A.230	4-(4-chlorophenoxy)-phenyl	$-CH_2-$	H	1
A.231	4-(4-chlorophenoxy)-phenyl	$-CH_2-$	CH_3	0
A.232	4-(4-chlorophenoxy)-phenyl	$-CH_2-$	CH_3	1
A.233	4-(3-chlorophenoxy)-phenyl	-	H	0

No.	A_1	X-Y	R_2	n
A.234	4-(3-chlorophenoxy)-phenyl	-	H	1
A.235	4-(3-chlorophenoxy)-phenyl	-	CH_3	0
A.236	4-(3-chlorophenoxy)-phenyl	-	CH_3	1
A.237	4-(3-chlorophenoxy)-phenyl	$-CH_2-$	H	0
A.238	4-(3-chlorophenoxy)-phenyl	$-CH_2-$	H	1
A.239	4-(3-chlorophenoxy)-phenyl	$-CH_2-$	CH_3	0
A.240	4-(3-chlorophenoxy)-phenyl	$-CH_2-$	CH_3	1
A.241	2-trifluoromethyl-pyrimidin-5-yl	-	H	0
A.242	2-trifluoromethyl-pyrimidin-5-yl	-	H	1
A.243	2-trifluoromethyl-pyrimidin-5-yl	-	CH_3	0
A.244	2-trifluoromethyl-pyrimidin-5-yl	-	CH_3	1
A.245	2-trifluoromethyl-pyrimidin-5-yl	$-CH_2-$	H	0
A.246	2-trifluoromethyl-pyrimidin-5-yl	$-CH_2-$	H	1
A.247	2-trifluoromethyl-pyrimidin-5-yl	$-CH_2-$	CH_3	0
A.248	2-trifluoromethyl-pyrimidin-5-yl	$-CH_2-$	CH_3	1
A.249	2-chloro-pyrimidin-5-yl	-	H	0
A.250	2-chloro-pyrimidin-5-yl	-	H	1
A.251	2-chloro-pyrimidin-5-yl	-	CH_3	0
A.252	2-chloro-pyrimidin-5-yl	-	CH_3	1
A.253	2-chloro-pyrimidin-5-yl	$-CH_2-$	H	0
A.254	2-chloro-pyrimidin-5-yl	$-CH_2-$	H	1
A.255	2-chloro-pyrimidin-5-yl	$-CH_2-$	CH_3	0
A.256	2-chloro-pyrimidin-5-yl	$-CH_2-$	CH_3	1
A.257	2-chloro-4-trifluoromethyl-pyrimidin-5-yl	-	H	0
A.258	2-chloro-4-trifluoromethyl-pyrimidin-5-yl	-	H	1
A.259	2-chloro-4-trifluoromethyl-pyrimidin-5-yl	-	CH_3	0
A.260	2-chloro-4-trifluoromethyl-pyrimidin-5-yl	-	CH_3	1
A.261	2-chloro-4-trifluoromethyl-pyrimidin-5-yl	$-CH_2-$	H	0
A.262	2-chloro-4-trifluoromethyl-pyrimidin-5-yl	$-CH_2-$	H	1
A.263	2-chloro-4-trifluoromethyl-pyrimidin-5-yl	$-CH_2-$	CH_3	0
A.264	2-chloro-4-trifluoromethyl-pyrimidin-5-yl	$-CH_2-$	CH_3	1
A.265	4-trifluoromethyl-pyrimidin-2-yl	-	H	0
A.266	4-trifluoromethyl-pyrimidin-2-yl	-	H	1

No.	A_1	X-Y	R_2	n
A.267	4-trifluoromethyl-pyrimidin-2-yl	-	CH_3	0
A.268	4-trifluoromethyl-pyrimidin-2-yl	-	CH_3	1
A.269	4-trifluoromethyl-pyrimidin-2-yl	$-CH_2-$	H	0
A.270	4-trifluoromethyl-pyrimidin-2-yl	$-CH_2-$	H	1
A.271	4-trifluoromethyl-pyrimidin-2-yl	$-CH_2-$	CH_3	0
A.272	4-trifluoromethyl-pyrimidin-2-yl	$-CH_2-$	CH_3	1
A.273	3-chloro-pyridazin-6-yl	-	H	0
A.274	3-chloro-pyridazin-6-yl	-	H	1
A.275	3-chloro-pyridazin-6-yl	-	CH_3	0
A.276	3-chloro-pyridazin-6-yl	-	CH_3	1
A.277	3-chloro-pyridazin-6-yl	$-CH_2-$	H	0
A.278	3-chloro-pyridazin-6-yl	$-CH_2-$	H	1
A.279	3-chloro-pyridazin-6-yl	$-CH_2-$	CH_3	0
A.280	3-chloro-pyridazin-6-yl	$-CH_2-$	CH_3	1
A.281	3-trifluoromethyl-pyridazin-6-yl	-	H	0
A.282	3-trifluoromethyl-pyridazin-6-yl	-	H	1
A.283	3-trifluoromethyl-pyridazin-6-yl	-	CH_3	0
A.284	3-trifluoromethyl-pyridazin-6-yl	-	CH_3	1
A.285	3-trifluoromethyl-pyridazin-6-yl	$-CH_2-$	H	0
A.286	3-trifluoromethyl-pyridazin-6-yl	$-CH_2-$	H	1
A.287	3-trifluoromethyl-pyridazin-6-yl	$-CH_2-$	CH_3	0
A.288	3-trifluoromethyl-pyridazin-6-yl	$-CH_2-$	CH_3	1
A.289	2-chloro-pyrazin-5-yl	-	H	0
A.290	2-chloro-pyrazin-5-yl	-	H	1
A.291	2-chloro-pyrazin-5-yl	-	CH_3	0
A.292	2-chloro-pyrazin-5-yl	-	CH_3	1
A.293	2-chloro-pyrazin-5-yl	$-CH_2-$	H	0
A.294	2-chloro-pyrazin-5-yl	$-CH_2-$	H	1
A.295	2-chloro-pyrazin-5-yl	$-CH_2-$	CH_3	0
A.296	2-chloro-pyrazin-5-yl	$-CH_2-$	CH_3	1
A.297	2-chloro-pyrazin-6-yl	-	H	0
A.298	2-chloro-pyrazin-6-yl	-	H	1
A.299	2-chloro-pyrazin-6-yl	-	CH_3	0

No.	A_1	X-Y	R_2	n
A.300	2-chloro-pyrazin-6-yl	-	CH_3	1
A.301	2-chloro-pyrazin-6-yl	$-CH_2-$	H	0
A.302	2-chloro-pyrazin-6-yl	$-CH_2-$	H	1
A.303	2-chloro-pyrazin-6-yl	$-CH_2-$	CH_3	0
A.304	2-chloro-pyrazin-6-yl	$-CH_2-$	CH_3	1
A.305	2-chloro-pyrazin-3-yl	-	H	0
A.306	2-chloro-pyrazin-3-yl	-	H	1
A.307	2-chloro-pyrazin-3-yl	-	CH_3	0
A.308	2-chloro-pyrazin-3-yl	-	CH_3	1
A.309	2-chloro-pyrazin-3-yl	$-CH_2-$	H	0
A.310	2-chloro-pyrazin-3-yl	$-CH_2-$	H	1
A.311	2-chloro-pyrazin-3-yl	$-CH_2-$	CH_3	0
A.312	2-chloro-pyrazin-3-yl	$-CH_2-$	CH_3	1
A.313	2-trifluoromethyl-pyrazin-5-yl	-	H	0
A.314	2-trifluoromethyl-pyrazin-5-yl	-	H	1
A.315	2-trifluoromethyl-pyrazin-5-yl	-	CH_3	0
A.316	2-trifluoromethyl-pyrazin-5-yl	-	CH_3	1
A.317	2-trifluoromethyl-pyrazin-5-yl	$-CH_2-$	H	0
A.318	2-trifluoromethyl-pyrazin-5-yl	$-CH_2-$	H	1
A.319	2-trifluoromethyl-pyrazin-5-yl	$-CH_2-$	CH_3	0
A.320	2-trifluoromethyl-pyrazin-5-yl	$-CH_2-$	CH_3	1
A.321	2-trifluoromethyl-pyrazin-6-yl	-	H	0
A.322	2-trifluoromethyl-pyrazin-6-yl	-	H	1
A.323	2-trifluoromethyl-pyrazin-6-yl	-	CH_3	0
A.324	2-trifluoromethyl-pyrazin-6-yl	-	CH_3	1
A.325	2-trifluoromethyl-pyrazin-6-yl	$-CH_2-$	H	0
A.326	2-trifluoromethyl-pyrazin-6-yl	$-CH_2-$	H	1
A.327	2-trifluoromethyl-pyrazin-6-yl	$-CH_2-$	CH_3	0
A.328	2-trifluoromethyl-pyrazin-6-yl	$-CH_2-$	CH_3	1
A.329	2-chloro-thiophen-5-yl	-	H	0
A.330	2-chloro-thiophen-5-yl	-	H	1
A.331	2-chloro-thiophen-5-yl	-	CH_3	0
A.332	2-chloro-thiophen-5-yl	-	CH_3	1

No.	A_1	X-Y	R_2	n
A.333	2-chloro-thiophen-5-yl	$-CH_2-$	H	0
A.334	2-chloro-thiophen-5-yl	$-CH_2-$	H	1
A.335	2-chloro-thiophen-5-yl	$-CH_2-$	CH_3	0
A.336	2-chloro-thiophen-5-yl	$-CH_2-$	CH_3	1
A.337	2-bromo-thiophen-5-yl	-	H	0
A.338	2-bromo-thiophen-5-yl	-	H	1
A.339	2-bromo-thiophen-5-yl	-	CH_3	0
A.340	2-bromo-thiophen-5-yl	-	CH_3	1
A.341	2-bromo-thiophen-5-yl	$-CH_2-$	H	0
A.342	2-bromo-thiophen-5-yl	$-CH_2-$	H	1
A.343	2-bromo-thiophen-5-yl	$-CH_2-$	CH_3	0
A.344	2-bromo-thiophen-5-yl	$-CH_2-$	CH_3	1
A.345	2,3,4-trichloro-thiophen-5-yl	-	H	0
A.346	2,3,4-trichloro-thiophen-5-yl	-	H	1
A.347	2,3,4-trichloro-thiophen-5-yl	-	CH_3	0
A.348	2,3,4-trichloro-thiophen-5-yl	-	CH_3	1
A.349	2,3,4-trichloro-thiophen-5-yl	$-CH_2-$	H	0
A.350	2,3,4-trichloro-thiophen-5-yl	$-CH_2-$	H	1
A.351	2,3,4-trichloro-thiophen-5-yl	$-CH_2-$	CH_3	0
A.352	2,3,4-trichloro-thiophen-5-yl	$-CH_2-$	CH_3	1
A.353	2,3-dichloro-thiophen-5-yl	-	H	0
A.354	2,3-dichloro-thiophen-5-yl	-	H	1
A.355	2,3-dichloro-thiophen-5-yl	-	CH_3	0
A.356	2,3-dichloro-thiophen-5-yl	-	CH_3	1
A.357	2,3-dichloro-thiophen-5-yl	$-CH_2-$	H	0
A.358	2,3-dichloro-thiophen-5-yl	$-CH_2-$	H	1
A.359	2,3-dichloro-thiophen-5-yl	$-CH_2-$	CH_3	0
A.360	2,3-dichloro-thiophen-5-yl	$-CH_2-$	CH_3	1
A.361	2,4-dichloro-thiophen-5-yl	-	H	0
A.362	2,4-dichloro-thiophen-5-yl	-	H	1
A.363	2,4-dichloro-thiophen-5-yl	-	CH_3	0
A.364	2,4-dichloro-thiophen-5-yl	-	CH_3	1
A.365	2,4-dichloro-thiophen-5-yl	$-CH_2-$	H	0

No.	A_1	X-Y	R_2	n
A.366	2,4-dichloro-thiophen-5-yl	$-CH_2-$	H	1
A.367	2,4-dichloro-thiophen-5-yl	$-CH_2-$	CH_3	0
A.368	2,4-dichloro-thiophen-5-yl	$-CH_2-$	CH_3	1
A.369	2,3-dibromo-thiophen-5-yl	-	H	0
A.370	2,3-dibromo-thiophen-5-yl	-	H	1
A.371	2,3-dibromo-thiophen-5-yl	-	CH_3	0
A.372	2,3-dibromo-thiophen-5-yl	-	CH_3	1
A.373	2,3-dibromo-thiophen-5-yl	$-CH_2-$	H	0
A.374	2,3-dibromo-thiophen-5-yl	$-CH_2-$	H	1
A.375	2,3-dibromo-thiophen-5-yl	$-CH_2-$	CH_3	0
A.376	2,3-dibromo-thiophen-5-yl	$-CH_2-$	CH_3	1
A.377	2,4-dibromo-thiophen-5-yl	-	H	0
A.378	2,4-dibromo-thiophen-5-yl	-	H	1
A.379	2,4-dibromo-thiophen-5-yl	-	CH_3	0
A.380	2,4-dibromo-thiophen-5-yl	-	CH_3	1
A.381	2,4-dibromo-thiophen-5-yl	$-CH_2-$	H	0
A.382	2,4-dibromo-thiophen-5-yl	$-CH_2-$	H	1
A.383	2,4-dibromo-thiophen-5-yl	$-CH_2-$	CH_3	0
A.384	2,4-dibromo-thiophen-5-yl	$-CH_2-$	CH_3	1
A.385	2-acetyl-thiophen-5-yl	-	H	0
A.386	2-acetyl-thiophen-5-yl	-	H	1
A.387	2-acetyl-thiophen-5-yl	-	CH_3	0
A.388	2-acetyl-thiophen-5-yl	-	CH_3	1
A.389	2-acetyl-thiophen-5-yl	$-CH_2-$	H	0
A.390	2-acetyl-thiophen-5-yl	$-CH_2-$	H	1
A.391	2-acetyl-thiophen-5-yl	$-CH_2-$	CH_3	0
A.392	2-acetyl-thiophen-5-yl	$-CH_2-$	CH_3	1
A.393	2-methyl-thiophen-5-yl	-	H	0
A.394	2-methyl-thiophen-5-yl	-	H	1
A.395	2-methyl-thiophen-5-yl	-	CH_3	0
A.396	2-methyl-thiophen-5-yl	-	CH_3	1
A.397	2-methyl-thiophen-5-yl	$-CH_2-$	H	0
A.398	2-methyl-thiophen-5-yl	$-CH_2-$	H	1

No.	A_1	X-Y	R_2	n
A.399	2-methyl-thiophen-5-yl	$-CH_2-$	CH_3	0
A.400	2-methyl-thiophen-5-yl	$-CH_2-$	CH_3	1
A.401	2-trifluoromethyl-thiophen-5-yl	-	H	0
A.402	2-trifluoromethyl-thiophen-5-yl	-	H	1
A.403	2-trifluoromethyl-thiophen-5-yl	-	CH_3	0
A.404	2-trifluoromethyl-thiophen-5-yl	-	CH_3	1
A.405	2-trifluoromethyl-thiophen-5-yl	$-CH_2-$	H	0
A.406	2-trifluoromethyl-thiophen-5-yl	$-CH_2-$	H	1
A.407	2-trifluoromethyl-thiophen-5-yl	$-CH_2-$	CH_3	0
A.408	2-trifluoromethyl-thiophen-5-yl	$-CH_2-$	CH_3	1
A.409	2-chloro-thiophen-4-yl	-	H	0
A.410	2-chloro-thiophen-4-yl	-	H	1
A.411	2-chloro-thiophen-4-yl	-	CH_3	0
A.412	2-chloro-thiophen-4-yl	-	CH_3	1
A.413	2-chloro-thiophen-4-yl	$-CH_2-$	H	0
A.414	2-chloro-thiophen-4-yl	$-CH_2-$	H	1
A.415	2-chloro-thiophen-4-yl	$-CH_2-$	CH_3	0
A.416	2-chloro-thiophen-4-yl	$-CH_2-$	CH_3	1
A.417	2,5-dichloro-thiophen-3-yl	-	H	0
A.418	2,5-dichloro-thiophen-3-yl	-	H	1
A.419	2,5-dichloro-thiophen-3-yl	-	CH_3	0
A.420	2,5-dichloro-thiophen-3-yl	-	CH_3	1
A.421	2,5-dichloro-thiophen-3-yl	$-CH_2-$	H	0
A.422	2,5-dichloro-thiophen-3-yl	$-CH_2-$	H	1
A.423	2,5-dichloro-thiophen-3-yl	$-CH_2-$	CH_3	0
A.424	2,5-dichloro-thiophen-3-yl	$-CH_2-$	CH_3	1
A.425	2-chloro-furan-5-yl	-	H	0
A.426	2-chloro-furan-5-yl	-	H	1
A.427	2-chloro-furan-5-yl	-	CH_3	0
A.428	2-chloro-furan-5-yl	-	CH_3	1
A.429	2-chloro-furan-5-yl	$-CH_2-$	H	0
A.430	2-chloro-furan-5-yl	$-CH_2-$	H	1
A.431	2-chloro-furan-5-yl	$-CH_2-$	CH_3	0

No.	A_1	X-Y	R_2	n
A.432	2-chloro-furan-5-yl	$-CH_2-$	CH_3	1
A.433	2-bromo-furan-5-yl	-	H	0
A.434	2-bromo-furan-5-yl	-	H	1
A.435	2-bromo-furan-5-yl	-	CH_3	0
A.436	2-bromo-furan-5-yl	-	CH_3	1
A.437	2-bromo-furan-5-yl	$-CH_2-$	H	0
A.438	2-bromo-furan-5-yl	$-CH_2-$	H	1
A.439	2-bromo-furan-5-yl	$-CH_2-$	CH_3	0
A.440	2-bromo-furan-5-yl	$-CH_2-$	CH_3	1
A.441	2,3,4-trichloro-furan-5-yl	-	H	0
A.442	2,3,4-trichloro-furan-5-yl	-	H	1
A.443	2,3,4-trichloro-furan-5-yl	-	CH_3	0
A.444	2,3,4-trichloro-furan-5-yl	-	CH_3	1
A.445	2,3,4-trichloro-furan-5-yl	$-CH_2-$	H	0
A.446	2,3,4-trichloro-furan-5-yl	$-CH_2-$	H	1
A.447	2,3,4-trichloro-furan-5-yl	$-CH_2-$	CH_3	0
A.448	2,3,4-trichloro-furan-5-yl	$-CH_2-$	CH_3	1
A.449	2,3-dichloro-furan-5-yl	-	H	0
A.450	2,3-dichloro-furan-5-yl	-	H	1
A.451	2,3-dichloro-furan-5-yl	-	CH_3	0
A.452	2,3-dichloro-furan-5-yl	-	CH_3	1
A.453	2,3-dichloro-furan-5-yl	$-CH_2-$	H	0
A.454	2,3-dichloro-furan-5-yl	$-CH_2-$	H	1
A.455	2,3-dichloro-furan-5-yl	$-CH_2-$	CH_3	0
A.456	2,3-dichloro-furan-5-yl	$-CH_2-$	CH_3	1
A.457	2,4-dichloro-furan-5-yl	-	H	0
A.458	2,4-dichloro-furan-5-yl	-	H	1
A.459	2,4-dichloro-furan-5-yl	-	CH_3	0
A.460	2,4-dichloro-furan-5-yl	-	CH_3	1
A.461	2,4-dichloro-furan-5-yl	$-CH_2-$	H	0
A.462	2,4-dichloro-furan-5-yl	$-CH_2-$	H	1
A.463	2,4-dichloro-furan-5-yl	$-CH_2-$	CH_3	0
A.464	2,4-dichloro-furan-5-yl	$-CH_2-$	CH_3	1

No.	A_1	X-Y	R_2	n
A.465	2,3-dibromo-furan-5-yl	-	H	0
A.466	2,3-dibromo-furan-5-yl	-	H	1
A.467	2,3-dibromo-furan-5-yl	-	CH_3	0
A.468	2,3-dibromo-furan-5-yl	-	CH_3	1
A.469	2,3-dibromo-furan-5-yl	$-CH_2-$	H	0
A.470	2,3-dibromo-furan-5-yl	$-CH_2-$	H	1
A.471	2,3-dibromo-furan-5-yl	$-CH_2-$	CH_3	0
A.472	2,3-dibromo-furan-5-yl	$-CH_2-$	CH_3	1
A.473	2,4-dibromo-furan-5-yl	-	H	0
A.474	2,4-dibromo-furan-5-yl	-	H	1
A.475	2,4-dibromo-furan-5-yl	-	CH_3	0
A.476	2,4-dibromo-furan-5-yl	-	CH_3	1
A.477	2,4-dibromo-furan-5-yl	$-CH_2-$	H	0
A.478	2,4-dibromo-furan-5-yl	$-CH_2-$	H	1
A.479	2,4-dibromo-furan-5-yl	$-CH_2-$	CH_3	0
A.480	2,4-dibromo-furan-5-yl	$-CH_2-$	CH_3	1
A.481	2-acetyl-furan-5-yl	-	H	0
A.482	2-acetyl-furan-5-yl	-	H	1
A.483	2-acetyl-furan-5-yl	-	CH_3	0
A.484	2-acetyl-furan-5-yl	-	CH_3	1
A.485	2-acetyl-furan-5-yl	$-CH_2-$	H	0
A.486	2-acetyl-furan-5-yl	$-CH_2-$	H	1
A.487	2-acetyl-furan-5-yl	$-CH_2-$	CH_3	0
A.488	2-acetyl-furan-5-yl	$-CH_2-$	CH_3	1
A.489	2-methyl-furan-5-yl	-	H	0
A.490	2-methyl-furan-5-yl	-	H	1
A.491	2-methyl-furan-5-yl	-	CH_3	0
A.492	2-methyl-furan-5-yl	-	CH_3	1
A.493	2-methyl-furan-5-yl	$-CH_2-$	H	0
A.494	2-methyl-furan-5-yl	$-CH_2-$	H	1
A.495	2-methyl-furan-5-yl	$-CH_2-$	CH_3	0
A.496	2-methyl-furan-5-yl	$-CH_2-$	CH_3	1
A.497	2-trifluoromethyl-furan-5-yl	-	H	0

No.	A_1	X-Y	R_2	n
A.498	2-trifluoromethyl-furan-5-yl	-	H	1
A.499	2-trifluoromethyl-furan-5-yl	-	CH_3	0
A.500	2-trifluoromethyl-furan-5-yl	-	CH_3	1
A.501	2-trifluoromethyl-furan-5-yl	$-CH_2-$	H	0
A.502	2-trifluoromethyl-furan-5-yl	$-CH_2-$	H	1
A.503	2-trifluoromethyl-furan-5-yl	$-CH_2-$	CH_3	0
A.504	2-trifluoromethyl-furan-5-yl	$-CH_2-$	CH_3	1
A.505	2-chloro-furan-4-yl	-	H	0
A.506	2-chloro-furan-4-yl	-	H	1
A.507	2-chloro-furan-4-yl	-	CH_3	0
A.508	2-chloro-furan-4-yl	-	CH_3	1
A.509	2-chloro-furan-4-yl	$-CH_2-$	H	0
A.510	2-chloro-furan-4-yl	$-CH_2-$	H	1
A.511	2-chloro-furan-4-yl	$-CH_2-$	CH_3	0
A.512	2-chloro-furan-4-yl	$-CH_2-$	CH_3	1
A.513	2,5-dichloro-furan-3-yl	-	H	0
A.514	2,5-dichloro-furan-3-yl	-	H	1
A.515	2,5-dichloro-furan-3-yl	-	CH_3	0
A.516	2,5-dichloro-furan-3-yl	-	CH_3	1
A.517	2,5-dichloro-furan-3-yl	$-CH_2-$	H	0
A.518	2,5-dichloro-furan-3-yl	$-CH_2-$	H	1
A.519	2,5-dichloro-furan-3-yl	$-CH_2-$	CH_3	0
A.520	2,5-dichloro-furan-3-yl	$-CH_2-$	CH_3	1
A.521	2-chloro-4-trifluoromethyl-thiazol-5-yl	-	H	0
A.522	2-chloro-4-trifluoromethyl-thiazol-5-yl	-	H	1
A.523	2-chloro-4-trifluoromethyl-thiazol-5-yl	-	CH_3	0
A.524	2-chloro-4-trifluoromethyl-thiazol-5-yl	-	CH_3	1
A.525	2-chloro-4-trifluoromethyl-thiazol-5-yl	$-CH_2-$	H	0
A.526	2-chloro-4-trifluoromethyl-thiazol-5-yl	$-CH_2-$	H	1
A.527	2-chloro-4-trifluoromethyl-thiazol-5-yl	$-CH_2-$	CH_3	0
A.528	2-chloro-4-trifluoromethyl-thiazol-5-yl	$-CH_2-$	CH_3	1
A.529	2-bromo-4-trifluoromethyl-thiazol-5-yl	-	H	0
A.530	2-bromo-4-trifluoromethyl-thiazol-5-yl	-	H	1

No.	A_1	X-Y	R_2	n
A.531	2-bromo-4-trifluoromethyl-thiazol-5-yl	-	CH_3	0
A.532	2-bromo-4-trifluoromethyl-thiazol-5-yl	-	CH_3	1
A.533	2-bromo-4-trifluoromethyl-thiazol-5-yl	$-CH_2-$	H	0
A.534	2-bromo-4-trifluoromethyl-thiazol-5-yl	$-CH_2-$	H	1
A.535	2-bromo-4-trifluoromethyl-thiazol-5-yl	$-CH_2-$	CH_3	0
A.536	2-bromo-4-trifluoromethyl-thiazol-5-yl	$-CH_2-$	CH_3	1
A.537	2,4-dichloro-thiazol-5-yl	-	H	0
A.538	2,4-dichloro-thiazol-5-yl	-	H	1
A.539	2,4-dichloro-thiazol-5-yl	-	CH_3	0
A.540	2,4-dichloro-thiazol-5-yl	-	CH_3	1
A.541	2,4-dichloro-thiazol-5-yl	$-CH_2-$	H	0
A.542	2,4-dichloro-thiazol-5-yl	$-CH_2-$	H	1
A.543	2,4-dichloro-thiazol-5-yl	$-CH_2-$	CH_3	0
A.544	2,4-dichloro-thiazol-5-yl	$-CH_2-$	CH_3	1
A.545	2-methyl-4-trifluoromethyl-thiazol-5-yl	-	H	0
A.546	2-methyl-4-trifluoromethyl-thiazol-5-yl	-	H	1
A.547	2-methyl-4-trifluoromethyl-thiazol-5-yl	-	CH_3	0
A.548	2-methyl-4-trifluoromethyl-thiazol-5-yl	-	CH_3	1
A.549	2-methyl-4-trifluoromethyl-thiazol-5-yl	$-CH_2-$	H	0
A.550	2-methyl-4-trifluoromethyl-thiazol-5-yl	$-CH_2-$	H	1
A.551	2-methyl-4-trifluoromethyl-thiazol-5-yl	$-CH_2-$	CH_3	0
A.552	2-methyl-4-trifluoromethyl-thiazol-5-yl	$-CH_2-$	CH_3	1
A.553	4-cyclopropyl-2-methyl-thiazol-5-yl	-	H	0
A.554	4-cyclopropyl-2-methyl-thiazol-5-yl	-	H	1
A.555	4-cyclopropyl-2-methyl-thiazol-5-yl	-	CH_3	0
A.556	4-cyclopropyl-2-methyl-thiazol-5-yl	-	CH_3	1
A.557	4-cyclopropyl-2-methyl-thiazol-5-yl	$-CH_2-$	H	0
A.558	4-cyclopropyl-2-methyl-thiazol-5-yl	$-CH_2-$	H	1
A.559	4-cyclopropyl-2-methyl-thiazol-5-yl	$-CH_2-$	CH_3	0
A.560	4-cyclopropyl-2-methyl-thiazol-5-yl	$-CH_2-$	CH_3	1
A.561	4-methyl-2-trifluoromethyl-thiazol-5-yl	-	H	0
A.562	4-methyl-2-trifluoromethyl-thiazol-5-yl	-	H	1
A.563	4-methyl-2-trifluoromethyl-thiazol-5-yl	-	CH_3	0

No.	A_1	X-Y	R_2	n
A.564	4-methyl-2-trifluoromethyl-thiazol-5-yl	-	CH_3	1
A.565	4-methyl-2-trifluoromethyl-thiazol-5-yl	$-CH_2-$	H	0
A.566	4-methyl-2-trifluoromethyl-thiazol-5-yl	$-CH_2-$	H	1
A.567	4-methyl-2-trifluoromethyl-thiazol-5-yl	$-CH_2-$	CH_3	0
A.568	4-methyl-2-trifluoromethyl-thiazol-5-yl	$-CH_2-$	CH_3	1
A.569	2,5-dimethyl-thiazol-4-yl	-	H	0
A.570	2,5-dimethyl-thiazol-4-yl	-	H	1
A.571	2,5-dimethyl-thiazol-4-yl	-	CH_3	0
A.572	2,5-dimethyl-thiazol-4-yl	-	CH_3	1
A.573	2,5-dimethyl-thiazol-4-yl	$-CH_2-$	H	0
A.574	2,5-dimethyl-thiazol-4-yl	$-CH_2-$	H	1
A.575	2,5-dimethyl-thiazol-4-yl	$-CH_2-$	CH_3	0
A.576	2,5-dimethyl-thiazol-4-yl	$-CH_2-$	CH_3	1
A.577	4,5-dichloro-isothiazol-3-yl	-	H	0
A.578	4,5-dichloro-isothiazol-3-yl	-	H	1
A.579	4,5-dichloro-isothiazol-3-yl	-	CH_3	0
A.580	4,5-dichloro-isothiazol-3-yl	-	CH_3	1
A.581	4,5-dichloro-isothiazol-3-yl	$-CH_2-$	H	0
A.582	4,5-dichloro-isothiazol-3-yl	$-CH_2-$	H	1
A.583	4,5-dichloro-isothiazol-3-yl	$-CH_2-$	CH_3	0
A.584	4,5-dichloro-isothiazol-3-yl	$-CH_2-$	CH_3	1
A.585	1-methyl-4-trifluoromethyl-pyrrol-5-yl	-	H	0
A.586	1-methyl-4-trifluoromethyl-pyrrol-5-yl	-	H	1
A.587	1-methyl-4-trifluoromethyl-pyrrol-5-yl	-	CH_3	0
A.588	1-methyl-4-trifluoromethyl-pyrrol-5-yl	-	CH_3	1
A.589	1-methyl-4-trifluoromethyl-pyrrol-5-yl	$-CH_2-$	H	0
A.590	1-methyl-4-trifluoromethyl-pyrrol-5-yl	$-CH_2-$	H	1
A.591	1-methyl-4-trifluoromethyl-pyrrol-5-yl	$-CH_2-$	CH_3	0
A.592	1-methyl-4-trifluoromethyl-pyrrol-5-yl	$-CH_2-$	CH_3	1
A.593	1-methyl-2-trifluoromethyl-pyrrol-3-yl	-	H	0
A.594	1-methyl-2-trifluoromethyl-pyrrol-3-yl	-	H	1
A.595	1-methyl-2-trifluoromethyl-pyrrol-3-yl	-	CH_3	0
A.596	1-methyl-2-trifluoromethyl-pyrrol-3-yl	-	CH_3	1

No.	A_1	X-Y	R_2	n
A.597	1-methyl-2-trifluoromethyl-pyrrol-3-yl	$-CH_2-$	H	0
A.598	1-methyl-2-trifluoromethyl-pyrrol-3-yl	$-CH_2-$	H	1
A.599	1-methyl-2-trifluoromethyl-pyrrol-3-yl	$-CH_2-$	CH_3	0
A.600	1-methyl-2-trifluoromethyl-pyrrol-3-yl	$-CH_2-$	CH_3	1
A.601	1-methyl-3-trifluoromethyl-pyrazol-4-yl	-	H	0
A.602	1-methyl-3-trifluoromethyl-pyrazol-4-yl	-	H	1
A.603	1-methyl-3-trifluoromethyl-pyrazol-4-yl	-	CH_3	0
A.604	1-methyl-3-trifluoromethyl-pyrazol-4-yl	-	CH_3	1
A.605	1-methyl-3-trifluoromethyl-pyrazol-4-yl	$-CH_2-$	H	0
A.606	1-methyl-3-trifluoromethyl-pyrazol-4-yl	$-CH_2-$	H	1
A.607	1-methyl-3-trifluoromethyl-pyrazol-4-yl	$-CH_2-$	CH_3	0
A.608	1-methyl-3-trifluoromethyl-pyrazol-4-yl	$-CH_2-$	CH_3	1
A.609	5-chloro-1-methyl-3-CF_3-pyrazol-4-yl	-	H	0
A.610	5-chloro-1-methyl-3-CF_3-pyrazol-4-yl	-	H	1
A.611	5-chloro-1-methyl-3-CF_3-pyrazol-4-yl	-	CH_3	0
A.612	5-chloro-1-methyl-3-CF_3-pyrazol-4-yl	-	CH_3	1
A.613	5-chloro-1-methyl-3-CF_3-pyrazol-4-yl	$-CH_2-$	H	0
A.614	5-chloro-1-methyl-3-CF_3-pyrazol-4-yl	$-CH_2-$	H	1
A.615	5-chloro-1-methyl-3-CF_3-pyrazol-4-yl	$-CH_2-$	CH_3	0
A.616	5-chloro-1-methyl-3-CF_3-pyrazol-4-yl	$-CH_2-$	CH_3	1
A.617	4-methyl-thiadiazol-5-yl	-	H	0
A.618	4-methyl-thiadiazol-5-yl	-	H	1
A.619	4-methyl-thiadiazol-5-yl	-	CH_3	0
A.620	4-methyl-thiadiazol-5-yl	-	CH_3	1
A.621	4-methyl-thiadiazol-5-yl	$-CH_2-$	H	0
A.622	4-methyl-thiadiazol-5-yl	$-CH_2-$	H	1
A.623	4-methyl-thiadiazol-5-yl	$-CH_2-$	CH_3	0
A.624	4-methyl-thiadiazol-5-yl	$-CH_2-$	CH_3	1
A.625	4-trifluoromethyl-thiadiazol-5-yl	-	H	0
A.626	4-trifluoromethyl-thiadiazol-5-yl	-	H	1
A.627	4-trifluoromethyl-thiadiazol-5-yl	-	CH_3	0
A.628	4-trifluoromethyl-thiadiazol-5-yl	-	CH_3	1
A.629	4-trifluoromethyl-thiadiazol-5-yl	$-CH_2-$	H	0

No.	A_1	X-Y	R_2	n
A.630	4-trifluoromethyl-thiadiazol-5-yl	$-CH_2-$	H	1
A.631	4-trifluoromethyl-thiadiazol-5-yl	$-CH_2-$	CH_3	0
A.632	4-trifluoromethyl-thiadiazol-5-yl	$-CH_2-$	CH_3	1

Table 1: A compound of general formula (Ia) wherein A_2 is 3-chloro-pyridazin-6-yl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.1 to A.632 of Table A.

Table 2: A compound of general formula (Ia) wherein A_2 is 3-methyl-pyridazin-6-yl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.1 to A.632 of Table A.

Table 3: A compound of general formula (Ia) wherein A_2 is 6-chloro-pyrazin-2-yl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.1 to A.632 of Table A.

Table 4: A compound of general formula (Ia) wherein A_2 is 4,6-dichloro-triazin-2-yl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.1 to A.632 of Table A.

Table 5: A compound of general formula (Ia) wherein A_2 is 2-trifluoromethyl-thiophen-4-yl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.1 to A.632 of Table A.

Table 6: A compound of general formula (Ia) wherein A_2 is pyrimidin-2-yl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.1 to A.632 of Table A.

Table 7: A compound of general formula (Ia) wherein A_2 is 4,6-dichloro-pyrimidin-2-yl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.1 to A.632 of Table A.

Table 8: A compound of general formula (Ia) wherein A_2 is 2,6-dichloro-pyrimidin-4-yl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.1 to A.632 of Table A.

Table 9: A compound of general formula (Ia) wherein A_2 is 4-chloro-pyrimidin-2-yl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.1 to A.632 of Table A.

Table 10: A compound of general formula (Ia) wherein A_2 is 2-chloro-pyrimidin-4-yl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.1 to A.632 of Table A.

Table 11: A compound of general formula (Ia) wherein A_2 is 6-chloro-2-trifluoromethyl-pyrimidin-4-yl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.1 to A.632 of Table A.

Table 12: A compound of general formula (Ia) wherein A_2 is 6-chloro-2-trichloromethyl-pyrimidin-4-yl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.1 to A.632 of Table A.

Table 13: A compound of general formula (Ia) wherein A_2 is 2-trifluoromethyl-pyrimidin-5-yl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.1 to A.632 of Table A.

Table 14: A compound of general formula (Ia) wherein A_2 is 2-trifluoromethyl-pyrimidin-4-yl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.1 to A.632 of Table A.

Table 15: A compound of general formula (Ia) wherein A_2 is 6-trifluoromethyl-pyrimidin-4-yl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.1 to A.632 of Table A.

Table 16: A compound of general formula (Ia) wherein A_2 is 4-chloro-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 17: A compound of general formula (Ia) wherein A_2 is 4-fluoro-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 18: A compound of general formula (Ia) wherein A_2 is 4-trifluoromethyl-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 19: A compound of general formula (Ia) wherein A_2 is 4-trifluoromethoxy-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 20: A compound of general formula (Ia) wherein A_2 is 4-methoxy-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 21: A compound of general formula (Ia) wherein A_2 is 4-methyl-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 22: A compound of general formula (Ia) wherein A_2 is 4-cyano-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 23: A compound of general formula (Ia) wherein A_2 is 4-nitro-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 24: A compound of general formula (Ia) wherein A_2 is 4-tert-butyl-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 25: A compound of general formula (Ia) wherein A_2 is 3-chloro-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 26: A compound of general formula (Ia) wherein A_2 is 3-fluoro-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 27: A compound of general formula (Ia) wherein A_2 is 3-trifluoromethyl-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 28: A compound of general formula (Ia) wherein A_2 is 3-trifluoromethoxy-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 29: A compound of general formula (Ia) wherein A_2 is 3-methoxy-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 30: A compound of general formula (Ia) wherein A_2 is 3-methyl-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 31: A compound of general formula (Ia) wherein A_2 is 3-cyano-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 32: A compound of general formula (Ia) wherein A_2 is 3-nitro-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 33: A compound of general formula (Ia) wherein A_2 is 2-chloro-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 34: A compound of general formula (Ia) wherein A_2 is 2-fluoro-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 35: A compound of general formula (Ia) wherein A_2 is 2-trifluoromethyl-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 36: A compound of general formula (Ia) wherein A_2 is 2-trifluoromethoxy-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 37: A compound of general formula (Ia) wherein A_2 is 2-cyano-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 38: A compound of general formula (Ia) wherein A_2 is 2-nitro-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 39: A compound of general formula (Ia) wherein A_2 is 3,4-dichloro-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 40: A compound of general formula (Ia) wherein A_2 is 2,4-dichloro-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 41: A compound of general formula (Ia) wherein A_2 is 3,5-dichloro-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 42: A compound of general formula (Ia) wherein A_2 is 2,6-dichloro-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 43: A compound of general formula (Ia) wherein A_2 is 3-fluoro-4-chloro-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Table 44: A compound of general formula (Ia) wherein A_2 is 2,4,6-trichloro-phenyl and the combination of meanings of A_1, X, Y, R_2 and n for each compound corresponds to a line A.241 to A.632 of Table A.

Formulation Examples for use in crop protection (% = percent by weight)

Example F1: Emulsifiable concentrates	a)	b)	c)
active ingredient	25%	40%	50%
calcium dodecylbenzenesulfonate	5%	8%	6%
castor oil polyethylene glycol ether (36 mol of ethylene oxide)	5%	-	-
tributylphenol polyethylene glycol ether (30 mol of ethylene oxide)	-	12%	4%
cyclohexanone	-	15%	20%
xylene mixture	65%	25%	20%

Mixing together the finely ground active ingredient and additives yields an emulsifiable concentrate which, on dilution with water, yields emulsions of the desired concentration.

Example F2: Solutions	a)	b)	c)	d)
active ingredient	80%	10%	5%	95%
ethylene glycol monomethyl ether	20%	-	-	-
polyethylene glycol (MW 400)	-	70%	-	-
N-methylpyrrolid-2-one	-	20%	-	-
epoxidised coconut oil	-	-	1%	5%
petroleum ethers (boiling range: 160-190°)	-	-	94%	-

Mixing together the finely ground active ingredient and additives yields a solution suitable for application in the form of microdrops.

Example F3: Granules	a)	b)	c)	d)
active ingredient	5%	10%	8%	21%
kaolin	94%	-	79%	54%
highly disperse silicic acid	1%	-	13%	7%
attapulgite	-	90%	-	18%

The active ingredient is dissolved in dichloromethane, the solution is sprayed onto the carrier mixture and the solvent is evaporated off *in vacuo*.

Example F4: Wettable powders	a)	b)	c)
active ingredient	25%	50%	75%
sodium lignosulfonate	5%	5%	-
sodium lauryl sulfate	3%	-	5%
sodium diisobutylnaphthalenesulfonate	-	6%	10%
octylphenol polyethylene glycol ether (7-8 mol of ethylene oxide)	-	2%	-
highly disperse silicic acid	5%	10%	10%
kaolin	62%	27%	-

Active ingredient and additives are mixed together and the mixture is ground in a suitable mill, yielding wettable powders which can be diluted with water to give suspensions of the desired concentration.

Example F5: Emulsifiable concentrate

active ingredient	10%
octylphenol polyethylene glycol ether	3%
(4-5 mol of ethylene oxide)	
calcium dodecylbenzenesulfonate	3%
castor oil polyethylene glycol ether	4%
(36 mol of ethylene oxide)	
cyclohexanone	30%
xylene mixture	50%

Mixing together the finely ground active ingredient and additives yields an emulsifiable concentrate which, on dilution with water, yields emulsions of the desired concentration.

Example F6: Extruder granules

active ingredient	10%
sodium lignosulfonate	2%
carboxymethylcellulose	1%
kaolin	87%

Active ingredient and additives are mixed together and the mixture is ground, moistened with water, extruded and granulated, and the granules are dried in a stream of air.

Example F7: Coated granules

active ingredient	3%
polyethylene glycol (MW 200)	3%
kaolin	94%

Uniform application of the finely ground active ingredient to the kaolin moistened with polyethylene glycol in a mixer yields non-dusty coated granules.

Example F8: Suspension concentrate

active ingredient	40%
ethylene glycol	10%
nonylphenol polyethylene glycol ether (15 mol of ethylene oxide)	6%
sodium lignosulfonate	10%
carboxymethylcellulose	1%
aqueous formaldehyde solution (37%)	0.2%
aqueous silicone oil emulsion (75%)	0.8%
water	32%

Mixing together the finely ground active ingredient and additives yields a suspension concentrate which, on dilution with water, yields suspensions of the desired concentration.

Biological Examples:

Example B1: Action against Aphis craccivora

Pea seedlings are infested with Aphis craccivora, subsequently sprayed with a spray mixture comprising 100 ppm of active ingredient and then incubated at 20°C. 3 and 6 days later the percentage reduction in population (% activity) is determined by comparing the number of dead aphids on the treated plants with that on untreated plants. The compounds of the Tables exhibit good activity in this test. In particular, the compounds prepared in Examples P.1 to P.3 exhibit an activity of more than 80 %.

Example B2: Action against Diabrotica balteata

Maize seedlings are sprayed with an aqueous emulsion spray mixture comprising 100 ppm of active ingredient and, after the spray-coating has dried, are populated with 10 Diabrotica balteata larvae in the second stage and then placed in a plastics container. 6 days later, the percentage reduction in population (% activity) is determined by comparing the number of dead larvae on the treated plants with that on untreated plants. The compounds of the Tables exhibit good activity in this test. In particular, the compounds prepared in Examples P.1 to P.3 exhibit an activity of more than 80 %.

Example B3: Action against Heliothis virescens

Young soybean plants are sprayed with an aqueous emulsion spray mixture comprising 100 ppm of active ingredient and, after the spray-coating has dried, are populated with 10 caterpillars of Heliothis virescens in the first stage and then placed in a plastics container.

6 days later, the percentage reduction in population and in feeding damage (% activity) are determined by comparing the number of dead caterpillars and the feeding damage on the treated plants with that on untreated plants. The compounds of the Tables exhibit good activity in this test.

Example B4: Action against Spodoptera littoralis

Young soybean plants are sprayed with an aqueous emulsion spray mixture comprising 100 ppm of active ingredient and, after the spray-coating has dried, are populated with 10 caterpillars of Spodoptera littoralis in the third stage and then placed in a plastics container. 3 days later, the percentage reduction in population and the percentage reduction in feeding damage (% activity) are determined by comparing the number of dead caterpillars and the feeding damage on the treated plants with that on untreated plants. The compounds of the Tables exhibit good activity in this test. In particular, the compounds prepared in Examples P.1 to P.3 exhibit an activity of more than 80 %.

Example B5: Action against Nilaparvata lugens

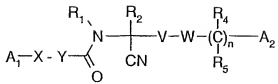
Rice plants are treated with an aqueous emulsion spray mixture comprising 400 ppm of active ingredient. After the spray-coating has dried, the rice plants are populated with cicada larvae in the 2nd and 3rd stages. The evaluation is carried out 21 days later. The percentage reduction in population (% activity) is determined by comparing the number of surviving cicadas on the treated plants with that on untreated plants The compounds of the Tables exhibit good activity in this test.

Example B6: Action against Tetranychus urticae

Young bean plants are populated with a mixed population of Tetranychus urticae and sprayed 1 day later with an aqueous emulsion spray mixture comprising 100 ppm of active ingredient, incubated for 6 days at 25°C and then evaluated. The percentage reduction in population (% activity) is determined by comparing the number of dead eggs, larvae and adults on the treated plants with that on untreated plants. The compounds of the Tables exhibit good activity in this test. In particular, the compounds prepared in Examples P.1 to P.3 exhibit an activity of more than 80 %.

What is claimed is:

1. A compound of formula



(I),

wherein

A_1 and A_2 are each independently of the other unsubstituted or mono- to penta-substituted aryl or unsubstituted or, depending upon the possibility of substitution at the ring, mono- to tetra-substituted heteroaryl bonded *via* a ring carbon atom;

the substituents of A_1 and A_2 being selected independently of one another from the group consisting of halogen, nitro, cyano, OH, SH, C_1-C_8alkyl, halo-C_1-C_8alkyl, C_1-C_8alkoxy, halo-C_1-C_8alkoxy, C_2-C_6alkenyl, halo-C_2-C_6alkenyl, C_2-C_6alkynyl, C_3-C_6cycloalkyl, C_2-C_6alkenyloxy, halo-C_2-C_6alkenyloxy, C_2-C_6alkynyloxy, C_1-C_6alkylthio, halo-C_1-C_6alkylthio, C_1-C_6alkylsulfonyloxy, C_1-C_6alkylsulfinyl, halo-C_1-C_6alkylsulfinyl, C_1-C_6alkylsulfonyl, halo-C_1-C_6alkylsulfonyl, C_2-C_6alkenylthio, halo-C_2-C_6alkenylthio, C_2-C_6alkenylsulfinyl, halo-C_2-C_6-alkenylsulfinyl, C_2-C_6alkenylsulfonyl, halo-C_2-C_6alkenylsulfonyl, NH_2, C_1-C_6alkylamino, di-C_1-C_6alkylamino, C_1-C_6alkylsulfonylamino, halo-C_1-C_6alkylsulfonylamino, C_1-C_6alkylcarbonyl, halo-C_1-C_6alkylcarbonyl, COOH, C_1-C_6alkoxycarbonyl; unsubstituted or mono- to penta-substituted phenyl; unsubstituted or mono- to penta-substituted phenoxy; and unsubstituted or mono- to tetra-substituted pyridyloxy; the substituents of the phenyl, phenoxy and pyridyloxy groups being selected independently of one another from the group consisting of halogen, nitro, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_1-C_6alkylthio, halo-C_1-C_6alkylthio, C_1-C_6alkylsulfinyl, halo-C_1-C_6alkylsulfinyl, C_1-C_6alkylsulfonyl and halo-C_1-C_6alkylsulfonyl;

or wherein two adjacent substituents of A_1 or A_2 together are $-CH_2-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-CH_2-$, $-CH=CH-CH_2-$ or $-CH=CH-CH=CH-$ in which one or two of the carbon members may have been replaced by hetero atoms selected from O, S and N and which are unsubstituted or mono- or di-substituted independently of one another by halogen, nitro, cyano, C_1-C_8alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy or halo-C_1-C_6alkoxy;

X and Y are each independently of the other a bond, C_1-C_6alkylene, C_2-C_6alkenylene,

C_2-C_6alkynylene, phenylene, -O-, -S-, -C(=O)- or a bridge of formula 

;

and wherein C_1-C_6alkylene, C_2-C_6alkenylene, C_2-C_6alkynylene and phenylene are unsubstituted or, depending upon the possibility of substitution, are mono- to tetra-substituted independently of one another by substituents selected from the group consisting of halogen, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_3-C_6alkenyloxy, C_3-C_6alkynyloxy, cyano, nitro and unsubstituted or mono- to tetra-substituted C_3-C_6cycloalkyl, the substituents of C_3-C_6cycloalkyl being selected from the group consisting of halogen and C_1-C_6alkyl;

R_1 is hydrogen, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, cyano-C_1-C_6alkyl, C_1-C_6alkylthio, halo-C_1-C_6alkylthio, C_2-C_6alkenyl, C_2-C_6alkynyl, C_3-C_6cycloalkyl, C_1-C_6alkoxy-C_1-C_6alkyl, C_1-C_6alkylthio-C_1-C_6alkyl, -C(=O)C_1-C_6alkyl, -C(=O)OC_1-C_6alkyl or -C(=O)NHC_1-C_6alkyl;

R_2 is C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy-C_1-C_6alkyl, C_1-C_6alkylthio-C_1-C_6alkyl, C_2-C_6alkenyl, C_2-C_6alkynyl; unsubstituted or substituted C_3-C_6cycloalkyl; the substituents being selected independently of one another from the group consisting of halogen and C_1-C_6alkyl; or unsubstituted or substituted phenyl, the substituents being selected from the group consisting of halogen, nitro, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_1-C_6alkylthio, halo-C_1-C_6alkylthio, C_1-C_6alkylsulfinyl, halo-C_1-C_6alkylsulfinyl, C_1-C_6alkylsulfonyl, halo-C_1-C_6alkylsulfonyl, C_1-C_6alkylamino and di-C_1-C_6alkylamino;

V is C_1-C_6alkylene, C_2-C_6alkenylene or C_2-C_6alkynylene which are unsubstituted or substituted independently of one another by substituents selected from the group consisting of halogen, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_1-C_6alkylthio, halo-C_1-C_6alkylthio; unsubstituted or substituted C_3-C_6cycloalkyl; the substituents being selected from the group consisting of halogen and C_1-C_6alkyl; and unsubstituted or substituted phenyl, the substituents being selected independently of one another from the group consisting of halogen, nitro, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_1-C_6alkylthio, halo-C_1-C_6alkylthio, C_1-C_6alkylsulfinyl, halo-C_1-C_6alkylsulfinyl, C_1-C_6alkylsulfonyl, halo-C_1-C_6alkylsulfonyl, C_1-C_6alkylamino and di-C_1-C_6alkylamino;

W is O, S, -S(O)-, -S(O_2)- or N(R_3); and

R_3 is hydrogen, C_1-C_6alkyl, -C(=O)-C_1-C_6alkyl or -C_1-C_6alkyl-O-C_1-C_6alkyl;

m is 0, 1, 2 or 3;

n is 0 or 1; and, when n is 1,

R_4 and R_5 are each independently of the other hydrogen, C_1-C_6alkyl, halo-C_1-C_6alkyl, unsubstituted or mono- or poly-substituted C_3-C_6cycloalkyl, the substituents being selected independently of one another from the group consisting of halogen and C_1-C_6alkyl; or unsubstituted or mono- or poly-substituted phenyl, the substituents being selected independently of one another from the group consisting of halogen, nitro, cyano, C_1-C_6alkyl, halo-C_1-C_6alkyl, C_1-C_6alkoxy, halo-C_1-C_6alkoxy, C_1-C_6alkylthio, halo-C_1-C_6alkylthio, C_1-C_6alkylsulfinyl, halo-C_1-C_6alkylsulfinyl, C_1-C_6alkylsulfonyl, halo-C_1-C_6alkylsulfonyl, C_1-C_6alkylamino and di-C_1-C_6alkylamino;

with the proviso that either A_1 or A_2 is or both A_1 and A_2 are heteroaryl;

and with the further proviso that A_1 and A_2 are not simultaneously pyridyl; that A_1 is not pyridyl when A_2 is phenyl; and that A_2 is not pyridyl when A_1 is phenyl;

or, where applicable, a diastereoisomer, an E/Z isomer, an E/Z isomeric mixture and/or a tautomer, in each case in free form or in salt form.

2. A compound according to claim 1 of formula (I) in free form.

3. A pesticidal composition, which comprises at least one compound according to claim 1 of formula (I), in free form or in agrochemically acceptable salt form, as active ingredient and at least one adjuvant.

4. A method of controlling pests, which comprises applying a composition according to claim 3 to the pests or to the locus thereof.

5. A process for the preparation of a composition comprising at least one adjuvant, according to claim 3, which comprises intimately mixing and/or grinding the active ingredient with the adjuvant(s).

6. Use of a compound according to claim 1 of formula (I), in free form or in agrochemically acceptable salt form, in the preparation of a composition as described in claim 3.

7. Use of a composition according to claim 3 in the control of pests.

8. A method according to claim 4 for the protection of plant propagation material, which comprises treating the propagation material or the planting site of the propagation material.

9. Plant propagation material treated in accordance with the method described in claim 8.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 02/07515

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D239/28 C07D239/30 C07D239/34 C07D237/14 C07D241/16
C07D241/18 C07D241/24 C07D333/32 A01N43/54

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
CHEM ABS Data, EPO-Internal

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 0 953 565 A (NIHON NOHYAKU) 3 November 1999 (1999-11-03) claims; tables 1-3 ---	1-9
A	US 5 209 769 A (K. FINDEISEN ET AL.) 11 May 1993 (1993-05-11) column 1; claims; examples 1,16-18 ---	1-9
P,X	WO 02 51822 A (NIHON BAYER AGROCHEM.) 4 July 2002 (2002-07-04) page 1; claims; examples 6,7; table 1 ---	1-9
P,A	WO 02 49641 A (NOVARTIS) 27 June 2002 (2002-06-27) the whole document -----	1-9

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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Date of the actual completion of the international search	Date of mailing of the international search report
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Name and mailing address of the ISA
European Patent Office, P.B. 5818 Patentlaan 2
NL – 2280 HV Rijswijk
Tel. (+31–70) 340–2040, Tx. 31 651 epo nl,
Fax: (+31–70) 340–3016

Authorized officer
Francois, J

INTERNATIONAL SEARCH REPORT

...formation on patent family members

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